PCT/IB 05 / 00596
(07.03.05)

PA 1273765

THE UNITED STATES OF AMERICA

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January 18, 2005

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APPLICATION NUMBER: ***60/554,510***
FILING DATE: ***March 19, 2004***

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PROVISIONAL APPLICATION FOR PATENT COVER SHEET

This is a request for filing a PROVISIONAL APPLICATION FOR PATENT under 37 CFR 1.53(c).

Express Mail Label No. ER 190758307 US

INVENTOR(S)		
Given Name (first and middle [if any])	Family Name or Surname	Residence (City and either State or Foreign Country)
Richard J.	Scotti	Saline, Michigan

Additional inventors are being named on the _____ *separately numbered sheets attached hereto*

TITLE OF THE INVENTION (500 characters max)

ANTIBACTERIAL AGENTS

Direct all correspondence to: **CORRESPONDENCE ADDRESS**

☑ Customer Number: 28880

OR

☑ Firm or Individual Name	Heidi M. Berven				
Address	Warner Lambert Company				
Address	2800 Plymouth Road				
City	Ann Arbor	State	Michigan	Zip	48105
Country	U.S.A	Telephone	734 622-5218	Fax	734 622-1553

ENCLOSED APPLICATION PARTS *(check all that apply)*

☑ Specification *Number of Pages* 108
☐ Drawing(s) *Number of Sheets* _____
☐ Application Data Sheet. See 37 CFR 1.76
☐ CD(s), Number _____
☑ Other (specify) 19 claims on 46 pages; Abstract on 1 page

METHOD OF PAYMENT OF FILING FEES FOR THIS PROVISIONAL APPLICATION FOR PATENT

☐ Applicant claims small entity status. See 37 CFR 1.27.
☐ A check or money order is enclosed to cover the filing fees.
☑ The Director is herby authorized to charge filing fees or credit any overpayment to Deposit Account Number: 23-0455
☐ Payment by credit card. Form PTO-2038 is attached.

FILING FEE Amount ($): $160.00

The invention was made by an agency of the United States Government or under a contract with an agency of the United States Government.

☑ No.
☐ Yes, the name of the U.S. Government agency and the Government contract number are: _____

[Page 1 of 2]

Respectfully submitted,

SIGNATURE _____

TYPED or PRINTED NAME Heidi M. Berven

TELEPHONE 734 622-5218

Date 19 March 04

REGISTRATION NO. 48,951 *(if appropriate)*

Docket Number: PC32216

USE ONLY FOR FILING A PROVISIONAL APPLICATION FOR PATENT

This collection of information is required by 37 CFR 1.51. The information is required to obtain or retain a benefit by the public which is to file (and by the USPTO to process) an application. Confidentiality is governed by 35 U.S.C. 122 and 37 CFR 1.14. This collection is estimated to take 8 hours to complete, including gathering, preparing, and submitting the completed application form to the USPTO. Time will vary depending upon the individual case. Any comments on the amount of time you require to complete this form and/or suggestions for reducing this burden, should be sent to the Chief Information Officer, U.S. Patent and Trademark Office, U.S. Department of Commerce, P.O. Box 1450, Alexandria, VA 22313-1450. DO NOT SEND FEES OR COMPLETED FORMS TO THIS ADDRESS. **SEND TO: Mail Stop Provisional Application, Commissioner for Patents, P.O. Box 1450, Alexandria, VA 22313-1450.**

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15535 U.S. PTO 60/554510 031904

Customer No. 28880

CERTIFICATE OF MAILING BY "EXPRESS MAIL" (37 CFR 1.10) Applicant(s):			Docket No. PC32216
Serial No.	Filing Date 19-Mar-2004	Examiner	Group Art

Invention:

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I hereby certify that this Provisional Application for Patent under 37 CFR 1.53(c)

(Identify type of correspondence)

Is being deposited with the United States Postal Service "Express Mail Post Office to Addressee" service under 37 CFR 1.10 in an envelope addressed to: Commissioner for Patents, P.O. Box 1450, Alexandria, VA 22313-1450

on March 19, 2004

(Date)

Wanda C. Bland

(Typed or Printed Name of Person Mailing Correspondence)

(Signature of Person Mailing Correspondence)

ER 190758307 US

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PC32216

ANTIBACTERIAL AGENTS

ANTIBACTERIAL AGENTS

FIELD OF THE INVENTION

The invention relates to compounds which exhibit antibacterial activity, methods for their preparation, as well as pharmaceutically acceptable compositions comprising such compounds.

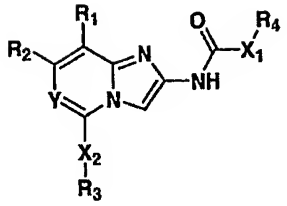
BACKGROUND OF THE INVENTION

Antibacterial resistance is a global clinical and public health problem that has emerged with alarming rapidity in recent years and undoubtedly will increase in the near future. Resistance is a problem in the community as well as in health care settings, where transmission of bacteria is greatly amplified. Because multiple drug resistance is a growing problem, physicians are now confronted with infections for which there is no effective therapy. The morbidity, mortality, and financial costs of such infections pose an increasing burden for health care systems worldwide. Strategies to address these issues emphasize enhanced surveillance of drug resistance, increased monitoring and improved usage of antimicrobial drugs, professional and public education, development of new drugs, and assessment of alternative therapeutic modalities.

As a result, alternative and improved agents are needed for the treatment of bacterial infections, particularly for the treatment of infections caused by resistant strains of bacteria, e.g., penicillin-resistant, methicillin-resistant, ciprofloxacin-resistant, and/or vancomycin-resistant strains.

SUMMARY OF THE INVENTION

These and other needs are met by the present invention, which is directed to a compound of formula I



I

or a pharmaceutically acceptable salt thereof, wherein:

X_1 is CH_2, NH, or O;

X_2 is absent,

is CH_2, NH, O,  , or  , wherein "〰" are points of attachment, or

is a tether 2, 3 or 4 atoms in length, selected from

〰CH_2-O〰, 〰CH_2-CH_2-O〰, 〰CH_2-CH_2-N(R)〰,

〰O-CH_2-CH_2-O〰, 〰O-CH_2-CH_2-N(R)〰,

〰N(R)-CH_2-CH_2-N(R)〰 wherein R is H or (C_1-C_6)alkyl, and

wherein "〰" are points of attachment;

Y is N, C-H, C-F, or C-OMe;

R_1 is H or halo;

R_2 is (C_3-C_6)cycloalkyl,

$(CH_2)_x$-aryl,

$(CH_2)_x$-heterocyclo, or

$(CH_2)_x$-heteroaryl,

wherein x is 0, 1, or 2;

R_3 is H,

(C_1-C_6)alkyl,

$(C_3\text{-}C_6)$cycloalkyl,

aryl,

heterocyclo,

heteroaryl,

$C(O)NR_aR_b$,

$C(O)R_a$,

CO_2R_a,

$C(O)C(O)NR_aR_b$,

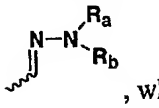
NO_2,

SO_2R_a,

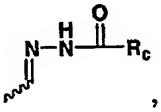
$SO_2NR_aR_b$,

$C(R_c)=NOR_a$,

$C(R_c)=NR_a$,



, wherein "〰" indicates the point of attachment,



, wherein "〰" indicates the point of attachment,

and wherein

R_a is H,

$(C_1\text{-}C_6)$alkyl,

$(C_3\text{-}C_6)$cycloalkyl,

$(CH_2)_y$-aryl,

$(CH_2)_y$-heterocyclo, or

$(CH_2)_y$-heteroaryl,

wherein y is 0, 1, or 2;

R_b is H,

$(C_1\text{-}C_6)$alkyl,

$(C_3\text{-}C_6)$cycloalkyl,

aryl,

heterocyclo, or

heteroaryl;

R_c is H,

$(C_1\text{-}C_6)$alkyl,

$(C_3\text{-}C_6)$cycloalkyl,

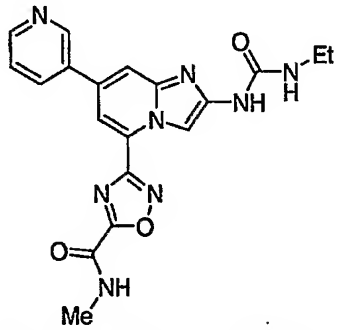
aryl,

heterocyclo, or

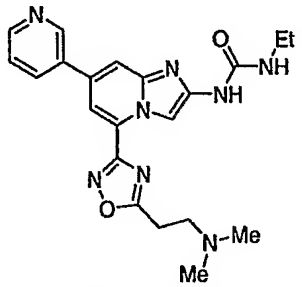
heteroaryl; and

R_4 is $(C_1\text{-}C_6)$alkyl, cyclopropyl, CH_2-cyclopropyl, or cyclobutyl.

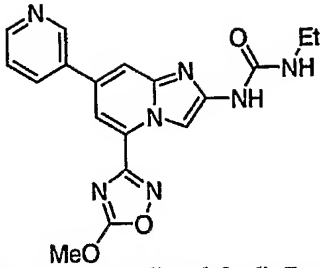
What is also provided is a compound which is:



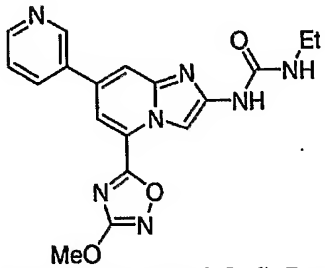
3-[2-(3-Ethyl-ureido)-7-pyridin-3-yl-imidazo[1,2-a]pyridin-5-yl]-[1,2,4]oxadiazole-5-carboxylic acid methylamide;



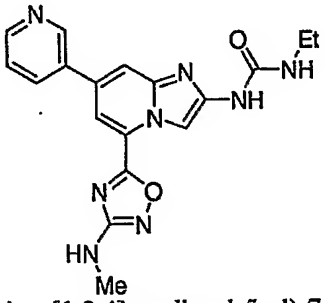
1-{5-[5-(2-Dimethylamino-ethyl)-[1,2,4]oxadiazol-3-yl]-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl}-3-ethyl-urea;



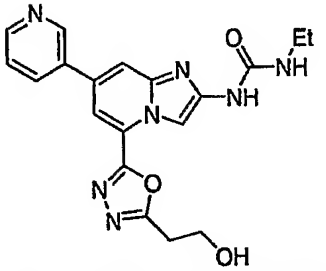
1-Ethyl-3-[5-(5-methoxy-[1,2,4]oxadiazol-3-yl)-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl]-urea;



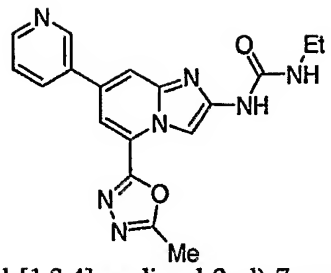
1-Ethyl-3-[5-(3-methoxy-[1,2,4]oxadiazol-5-yl)-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl]-urea;



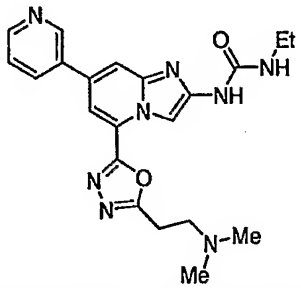
1-Ethyl-3-[5-(3-methylamino-[1,2,4]oxadiazol-5-yl)-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl]-urea;



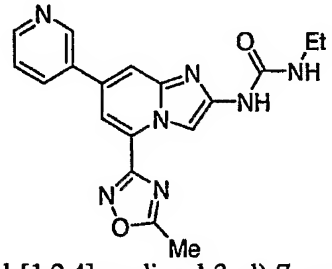
1-Ethyl-3-{5-[5-(2-hydroxy-ethyl)-[1,3,4]oxadiazol-2-yl]-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl}-urea;



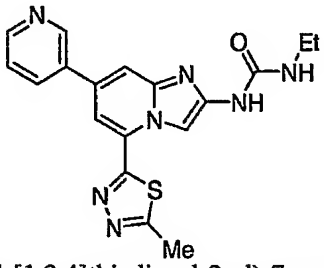
1-Ethyl-3-[5-(5-methyl-[1,3,4]oxadiazol-2-yl)-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl]-urea;



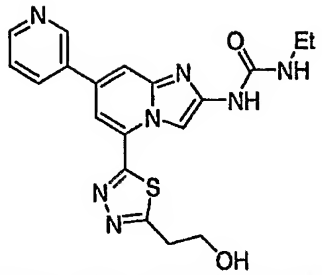
1-{5-[5-(2-Dimethylamino-ethyl)-[1,3,4]oxadiazol-2-yl]-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl}-3-ethyl-urea;



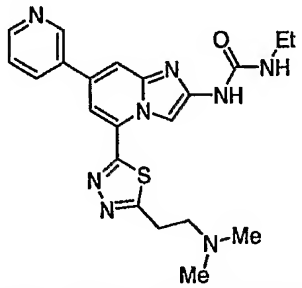
1-Ethyl-3-[5-(5-methyl-[1,2,4]oxadiazol-3-yl)-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl]-urea;



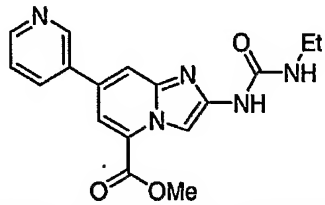
1-Ethyl-3-[5-(5-methyl-[1,3,4]thiadiazol-2-yl)-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl]-urea;



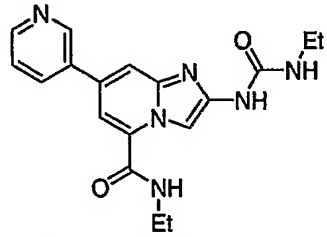
1-Ethyl-3-{5-[5-(2-hydroxy-ethyl)-[1,3,4]thiadiazol-2-yl]-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl}-urea;



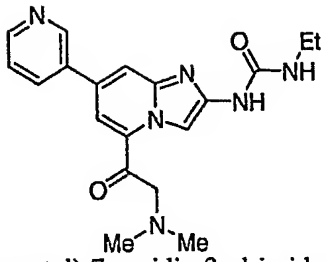
1-{5-[5-(2-Dimethylamino-ethyl)-[1,3,4]thiadiazol-2-yl]-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl}-3-ethyl-urea;



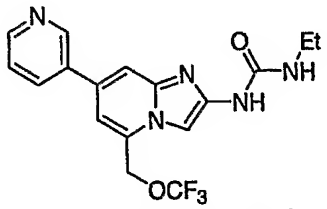
2-(3-Ethyl-ureido)-7-pyridin-3-yl-imidazo[1,2-a]pyridine-5-carboxylic acid methyl ester;



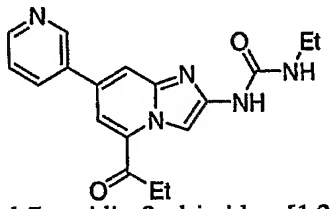
2-(3-Ethyl-ureido)-7-pyridin-3-yl-imidazo[1,2-a]pyridine-5-carboxylic acid ethylamide;



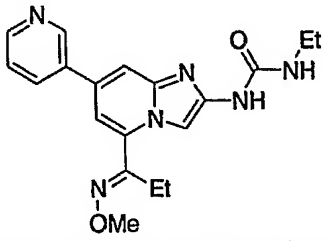
1-[5-(2-Dimethylamino-acetyl)-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl]-3-ethyl-urea;



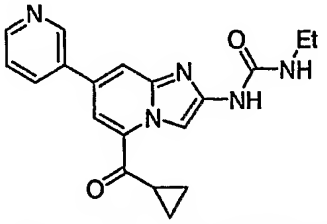
1-Ethyl-3-(7-pyridin-3-yl-5-trifluoromethoxymethyl-imidazo[1,2-a]pyridin-2-yl)-urea;



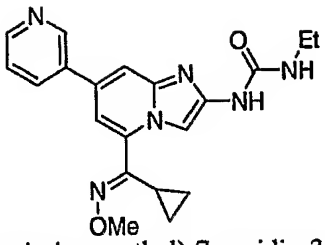
1-Ethyl-3-(5-propionyl-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl)-urea;



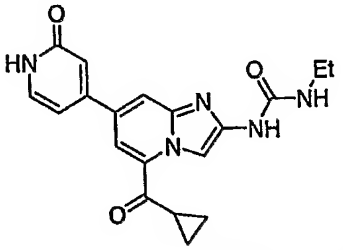
1-Ethyl-3-[5-(1-methylimino-propyl)-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl]-urea;



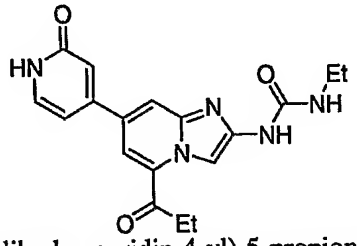
1-(5-Cyclopropanecarbonyl-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl)-3-ethyl-urea;



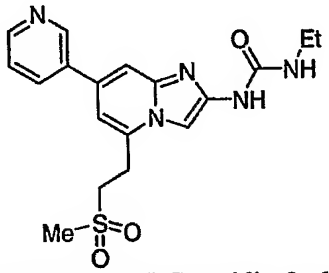
1-[5-(Cyclopropyl-methoxyimino-methyl)-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl]-3-methyl-urea;



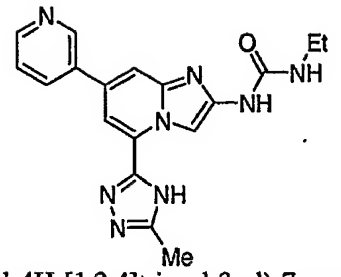
1-[5-Cyclopropanecarbonyl-7-(2-oxo-1,2-dihydro-pyridin-4-yl)-imidazo[1,2-a]pyridin-2-yl]-3-ethyl-urea;



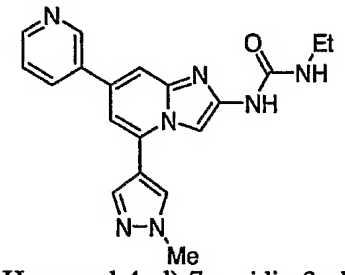
1-Ethyl-3-[7-(2-oxo-1,2-dihydro-pyridin-4-yl)-5-propionyl-imidazo[1,2-a]pyridin-2-yl]-urea;



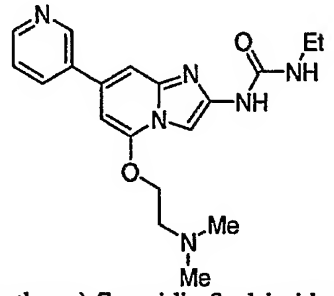
1-Ethyl-3-[5-(2-methanesulfonyl-ethyl)-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl]-urea;



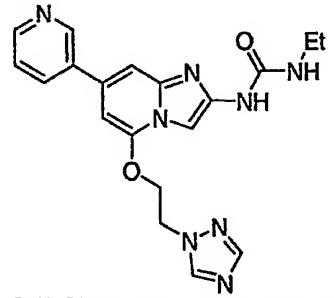
1-Ethyl-3-[5-(5-methyl-4H-[1,2,4]triazol-3-yl)-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl]-urea;



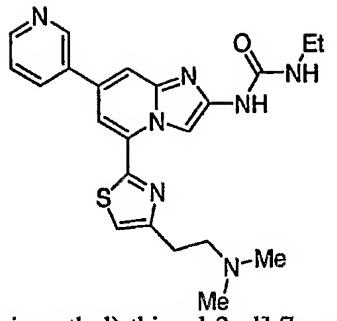
1-Ethyl-3-[5-(1-methyl-1H-pyrazol-4-yl)-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl]-urea;



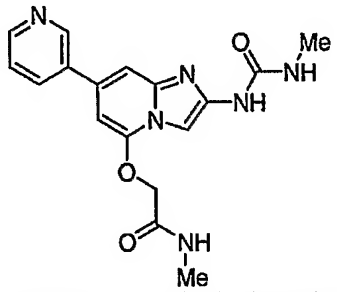
1-[5-(2-Dimethylamino-ethoxy)-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl]-3-ethyl-urea;



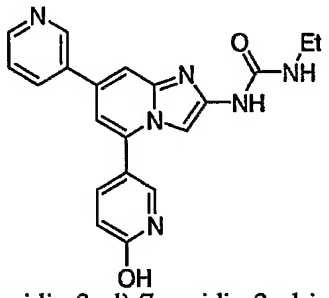
1-Ethyl-3-[7-pyridin-3-yl-5-(2-[1,2,4]triazol-1-yl-ethoxy)-imidazo[1,2-a]pyridin-2-yl]-urea;



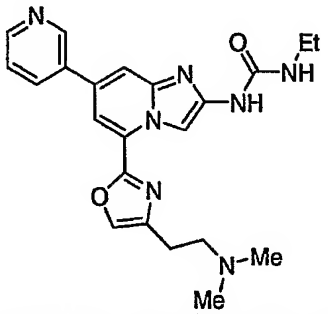
1-{5-[4-(2-Dimethylamino-ethyl)-thiazol-2-yl]-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl}-3-ethyl-urea;



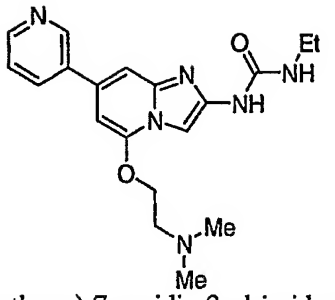
N-Methyl-2-[2-(3-methyl-ureido)-7-pyridin-3-yl-imidazo[1,2-a]pyridin-5-yloxy]-acetamide;



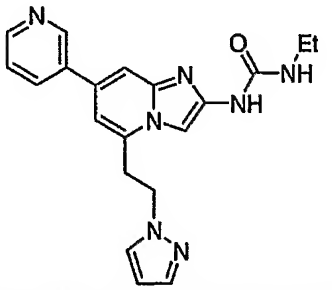
1-Ethyl-3-[5-(6-hydroxy-pyridin-3-yl)-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl]-urea;



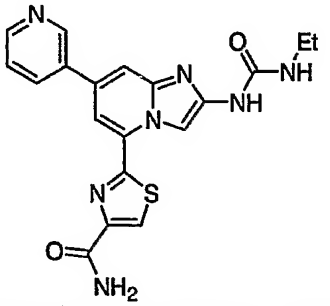
1-{5-[4-(2-Dimethylamino-ethyl)-oxazol-2-yl]-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl}-3-ethyl-urea;



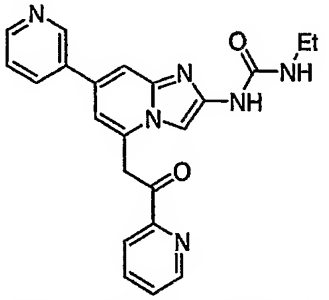
1-[5-(2-Dimethylamino-ethoxy)-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl]-3-ethyl-urea;



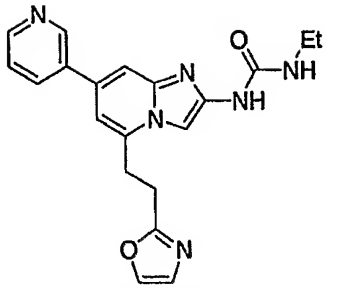
1-Ethyl-3-[5-(2-pyrazol-1-yl-ethyl)-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl]-urea;



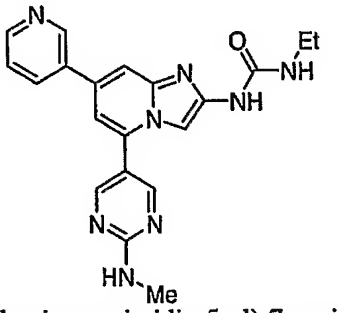
2-[2-(3-Ethyl-ureido)-7-pyridin-3-yl-imidazo[1,2-a]pyridin-5-yl]-thiazole-4-carboxylic acid amide;



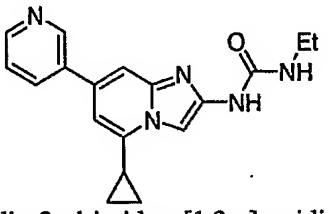
1-Ethyl-3-[5-(2-oxo-2-pyridin-2-yl-ethyl)-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl]-urea;



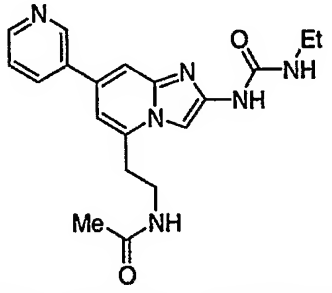
1-Ethyl-3-[5-(2-oxazol-2-yl-ethyl)-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl]-urea;



1-Ethyl-3-[5-(2-methylamino-pyrimidin-5-yl)-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl]-urea;

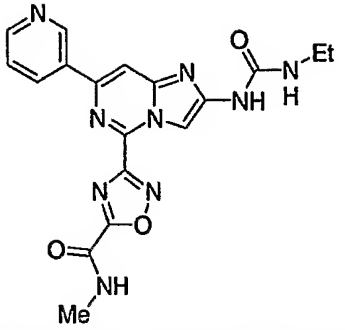


1-(5-Cyclopropyl-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl)-3-ethyl-urea; and

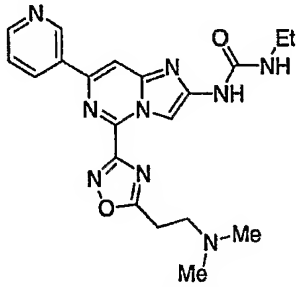


N-{2-[2-(3-Ethyl-ureido)-7-pyridin-3-yl-imidazo[1,2-a]pyridin-5-yl]-ethyl}-acetamide.

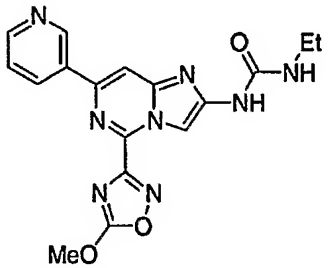
What is also provided is a compound which is:



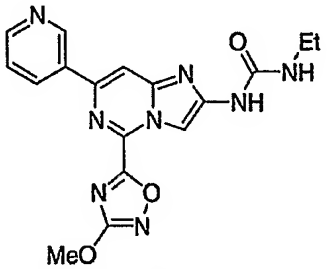
3-[2-(3-Ethyl-ureido)-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-5-yl]-[1,2,4]oxadiazole-5-carboxylic acid methylamide



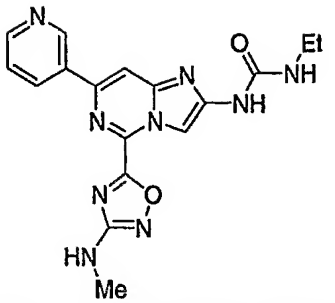
1-{5-[5-(2-Dimethylamino-ethyl)-[1,2,4]oxadiazol-3-yl]-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl}-3-ethyl-urea;



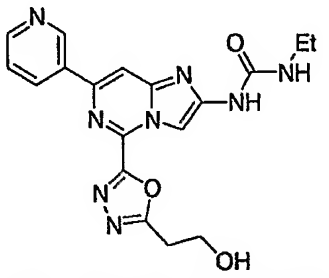
1-Ethyl-3-[5-(5-methoxy-[1,2,4]oxadiazol-3-yl)-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl]-urea;



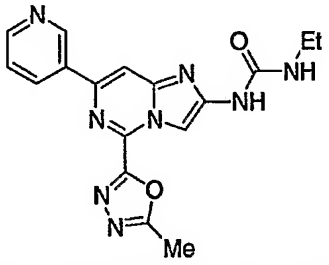
1-Ethyl-3-[5-(3-methoxy-[1,2,4]oxadiazol-5-yl)-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl]-urea;



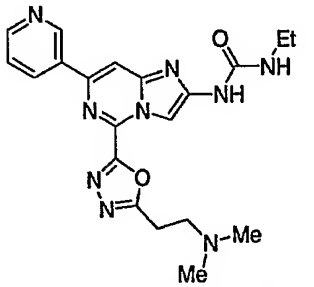
1-Ethyl-3-[5-(3-methylamino-[1,2,4]oxadiazol-5-yl)-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl]-urea;



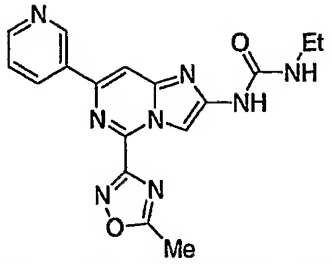
1-Ethyl-3-{5-[5-(2-hydroxy-ethyl)-[1,3,4]oxadiazol-2-yl]-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl}-urea;



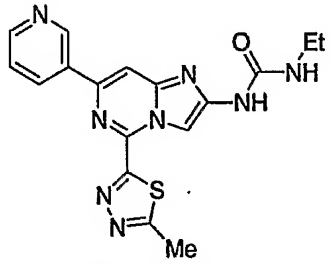
1-Ethyl-3-[5-(5-methyl-[1,3,4]oxadiazol-2-yl)-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl]-urea;



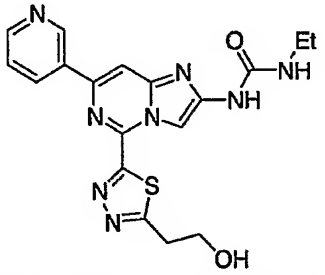
1-{5-[5-(2-Dimethylamino-ethyl)-[1,3,4]oxadiazol-2-yl]-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl}-3-ethyl-urea;



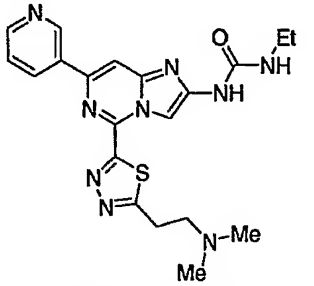
1-Ethyl-3-[5-(5-methyl-[1,2,4]oxadiazol-3-yl)-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl]-urea;



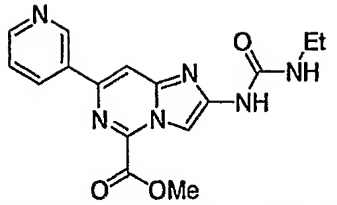
1-Ethyl-3-[5-(5-methyl-[1,3,4]thiadiazol-2-yl)-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl]-urea;



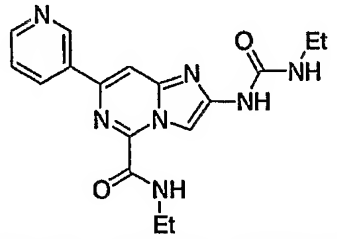
1-Ethyl-3-{5-[5-(2-hydroxy-ethyl)-[1,3,4]thiadiazol-2-yl]-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl}-urea;



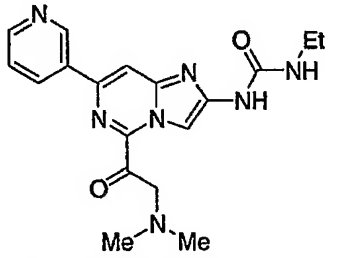
1-{5-[5-(2-Dimethylamino-ethyl)-[1,3,4]thiadiazol-2-yl]-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl}-3-ethyl-urea;



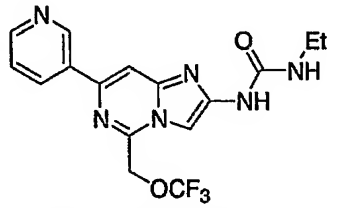
2-(3-Ethyl-ureido)-7-pyridin-3-yl-imidazo[1,2-c]pyrimidine-5-carboxylic acid methyl ester;



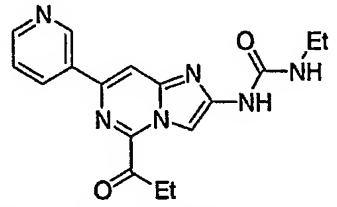
2-(3-Ethyl-ureido)-7-pyridin-3-yl-imidazo[1,2-c]pyrimidine-5-carboxylic acid ethylamide;



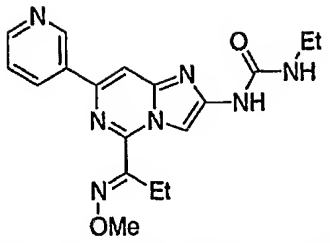
1-[5-(2-Dimethylamino-acetyl)-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl]-3-ethyl-urea;



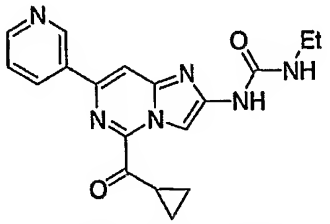
1-Ethyl-3-(7-pyridin-3-yl-5-trifluoromethoxymethyl-imidazo[1,2-c]pyrimidin-2-yl)-urea;



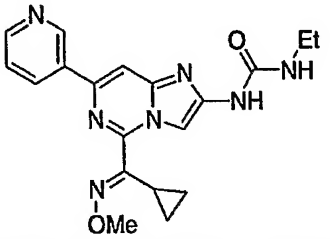
1-Ethyl-3-(5-propionyl-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl)-urea;



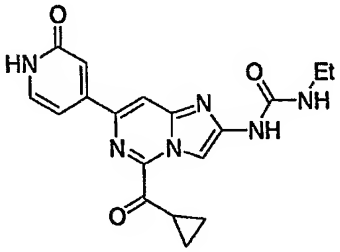
1-Ethyl-3-[5-(1-methoxyimino-propyl)-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl]-urea;



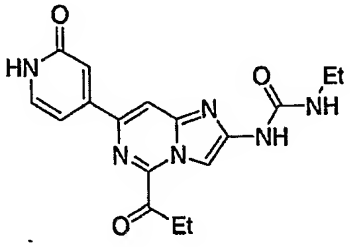
1-(5-Cyclopropanecarbonyl-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl)-3-ethyl-urea;



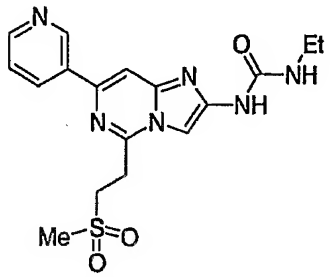
1-[5-(Cyclopropyl-methoxyimino-methyl)-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl]-3-ethyl-urea;



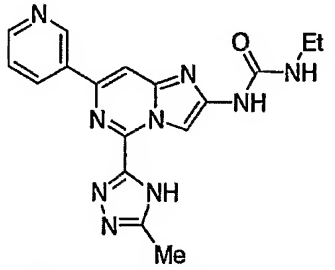
1-[5-Cyclopropanecarbonyl-7-(2-oxo-1,2-dihydro-pyridin-4-yl)-imidazo[1,2-c]pyrimidin-2-yl]-3-ethyl-urea;



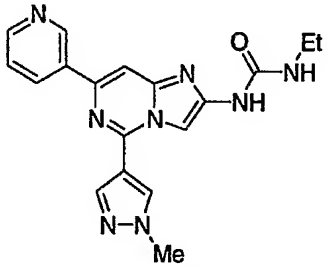
1-Ethyl-3-[7-(2-oxo-1,2-dihydro-pyridin-4-yl)-5-propionyl-imidazo[1,2-c]pyrimidin-2-yl]-urea;



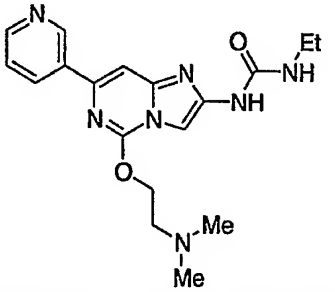
1-Ethyl-3-[5-(2-methanesulfonyl-ethyl)-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl]-urea;



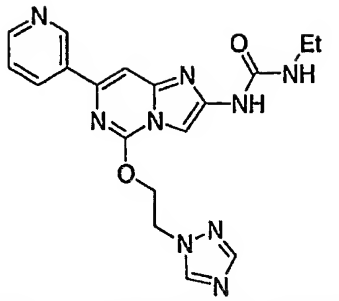
1-Ethyl-3-[5-(5-methyl-4H-[1,2,4]triazol-3-yl)-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl]-urea;



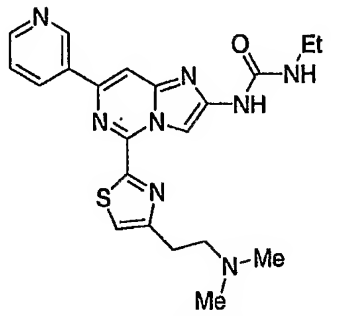
1-Ethyl-3-[5-(1-methyl-1H-pyrazol-4-yl)-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl]-urea;



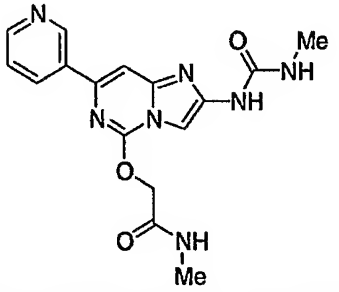
1-[5-(2-Dimethylamino-ethoxy)-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl]-3-ethyl-urea;



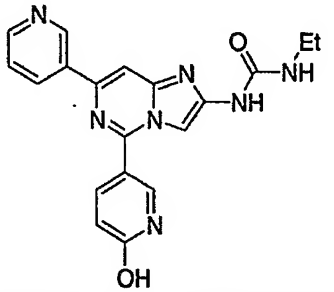
1-Ethyl-3-[7-pyridin-3-yl-5-(2-[1,2,4]triazol-1-yl-ethoxy)-imidazo[1,2-c]pyrimidin-2-yl]-urea;



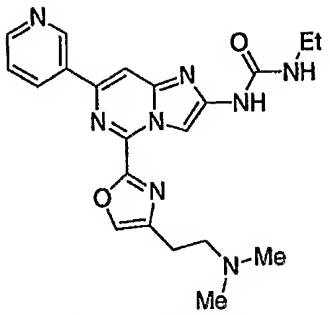
1-{5-[4-(2-Dimethylamino-ethyl)-thiazol-2-yl]-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl}-3-ethyl-urea;



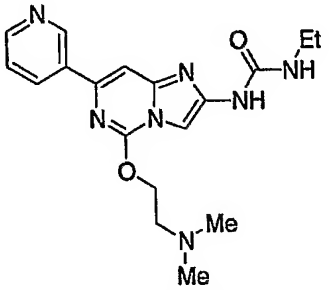
N-Methyl-2-[2-(3-methyl-ureido)-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-5-yloxy]-acetamide;



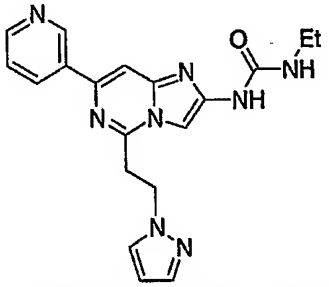
1-Ethyl-3-[5-(6-hydroxy-pyridin-3-yl)-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl]-urea;



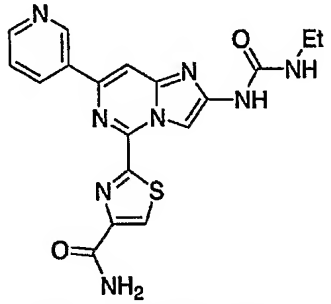
1-{5-[4-(2-Dimethylamino-ethyl)-oxazol-2-yl]-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl}-3-ethyl-urea;



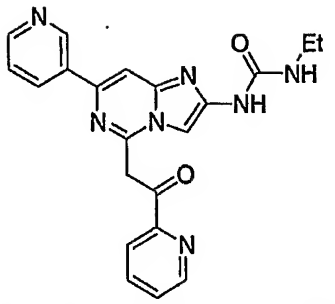
1-[5-(2-Dimethylamino-ethoxy)-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl]-3-ethyl-urea;



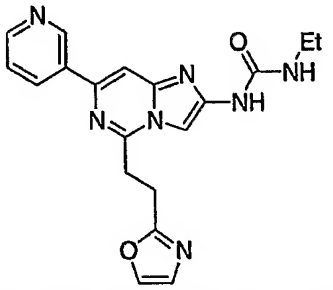
1-Ethyl-3-[5-(2-pyrazol-1-yl-ethyl)-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl]-urea;



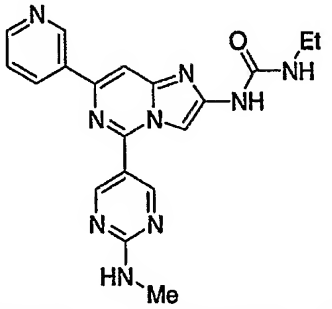
2-[2-(3-Ethyl-ureido)-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-5-yl]-thiazole-4-carboxylic acid amide;



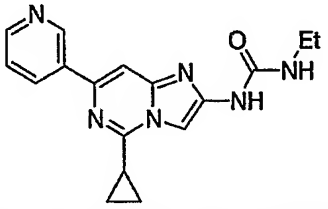
1-Ethyl-3-[5-(2-oxo-2-pyridin-2-yl-ethyl)-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl]-urea;



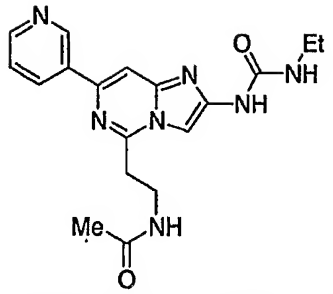
1-Ethyl-3-[5-(2-oxazol-2-yl-ethyl)-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl]-urea;



1-Ethyl-3-[5-(2-methylamino-pyrimidin-5-yl)-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl]-urea;

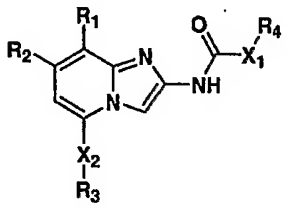


1-(5-Cyclopropyl-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl)-3-ethyl-urea; and



N-{2-[2-(3-Ethyl-ureido)-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-5-yl]-ethyl}-acetamide.

What is also provided is a compound of formula II



II

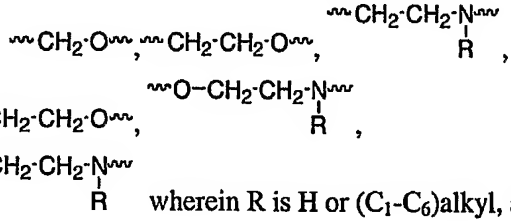
or a pharmaceutically acceptable salt thereof, wherein:

X_1 is CH_2, NH, or O;

X_2 is absent,

is CH_2, NH, O,  , or  , wherein "〰" are points of attachment, or

is a tether 2, 3 or 4 atoms in length, selected from



wherein R is H or (C_1-C_6)alkyl, and

wherein "〰" are points of attachment;

R_1 is H or halo;

R_2 is (C_3-C_6)cycloalkyl,

$(CH_2)_x$-aryl,

$(CH_2)_x$-heterocyclo, or

$(CH_2)_x$-heteroaryl,

wherein x is 0, 1, or 2;

R_3 is H,

$(C_1\text{-}C_6)$alkyl,

$(C_3\text{-}C_6)$cycloalkyl,

aryl,

heterocyclo,

heteroaryl,

$C(O)NR_aR_b$,

$C(O)R_a$,

CO_2R_a,

$C(O)C(O)NR_aR_b$,

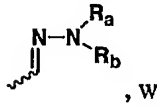
NO_2,

SO_2R_a,

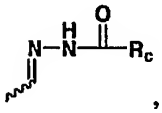
$SO_2NR_aR_b$,

$C(R_c)=NOR_a$,

$C(R_c)=NR_a$,



, wherein "〰" indicates the point of attachment,



, wherein "〰" indicates the point of attachment,

and wherein

R_a is H,

$(C_1\text{-}C_6)$alkyl,

$(C_3\text{-}C_6)$cycloalkyl,

$(CH_2)_y$-aryl,

$(CH_2)_y$-heterocyclo, or

$(CH_2)_y$-heteroaryl,

wherein y is 0, 1, or 2;

R_b is H,

$(C_1\text{-}C_6)$alkyl,

$(C_3\text{-}C_6)$cycloalkyl,

aryl,

heterocyclo, or

heteroaryl;

R_c is H,

$(C_1\text{-}C_6)$alkyl,

$(C_3\text{-}C_6)$cycloalkyl,

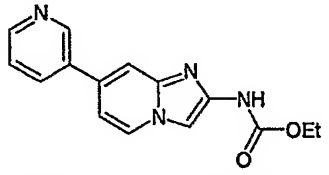
aryl,

heterocyclo, or

heteroaryl; and

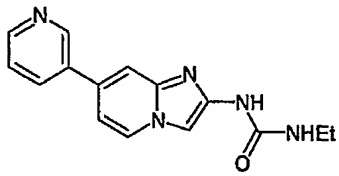
R_4 is $(C_1\text{-}C_6)$alkyl, cyclopropyl, CH_2-cyclopropyl, or cyclobutyl.

What is also provided is a compound which is



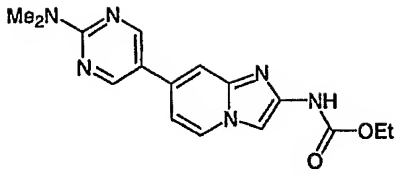
SN 29501

(7-Pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl)-carbamic acid ethyl ester;



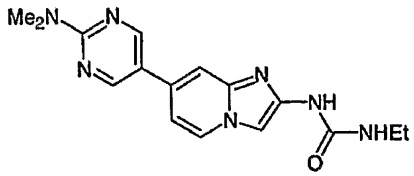
SN29504

1-Ethyl-3-(7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl)-urea;



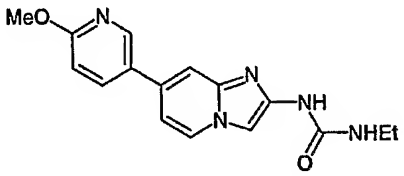
SN 29523

[7-(2-Dimethylamino-pyrimidin-5-yl)-imidazo[1,2-a]pyridin-2-yl]-carbamic acid ethyl ester;



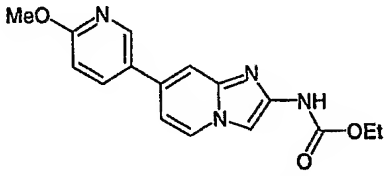
SN 29518

1-[7-(2-Dimethylamino-pyrimidin-5-yl)-imidazo[1,2-a]pyridin-2-yl]-3-ethyl-urea;



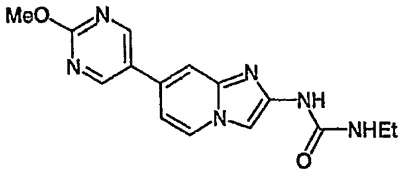
SN 29526

1-Ethyl-3-[7-(6-methoxy-pyridin-3-yl)-imidazo[1,2-a]pyridin-2-yl]-urea;



SN 29527

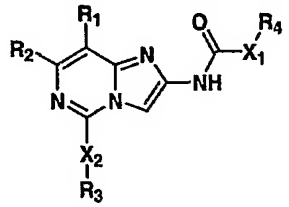
[7-(6-Methoxy-pyridin-3-yl)-imidazo[1,2-a]pyridin-2-yl]-carbamic acid ethyl ester; or



SN 29529

1-Ethyl-3-[7-(2-methoxy-pyrimidin-5-yl)-imidazo[1,2-a]pyridin-2-yl]-urea.

What is also provided is a compound of formula III



III

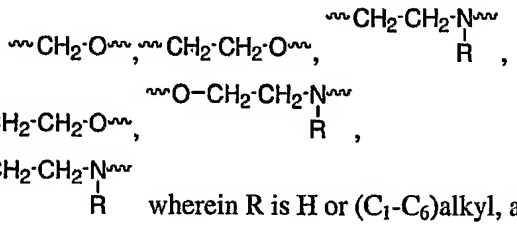
or a pharmaceutically acceptable salt thereof, wherein:

X_1 is CH_2, NH, or O;

X_2 is absent,

is CH_2, NH, O,  , or  , wherein "〰" are points of attachment, or

is a tether 2, 3 or 4 atoms in length, selected from



wherein R is H or $(C_1$-$C_6)$alkyl, and wherein "〰" are points of attachment;

R_1 is H or halo;

R_2 is $(C_3$-$C_6)$cycloalkyl,

$(CH_2)_x$-aryl,

$(CH_2)_x$-heterocyclo, or

$(CH_2)_x$-heteroaryl,

wherein x is 0, 1, or 2;

R_3 is H,

$(C_1$-$C_6)$alkyl,

$(C_3$-$C_6)$cycloalkyl,

aryl,

heterocyclo,

heteroaryl,

$C(O)NR_aR_b$,

$C(O)R_a$,

CO_2R_a,

$C(O)C(O)NR_aR_b$,

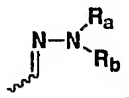
NO_2,

SO_2R_a,

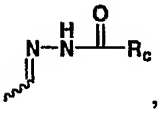
$SO_2NR_aR_b$,

$C(R_c)=NOR_a$,

$C(R_c)=NR_a$,



, wherein "~~~" indicates the point of attachment,



, wherein "~~~" indicates the point of attachment,

and wherein

R_a is H,

$(C_1\text{-}C_6)$alkyl,

$(C_3\text{-}C_6)$cycloalkyl,

$(CH_2)_y$-aryl,

$(CH_2)_y$-heterocyclo, or

$(CH_2)_y$-heteroaryl,

wherein y is 0, 1, or 2;

R_b is H,

$(C_1\text{-}C_6)$alkyl,

$(C_3\text{-}C_6)$cycloalkyl,

aryl,

heterocyclo, or

heteroaryl;

R_c is H,

$(C_1\text{-}C_6)$alkyl,

$(C_3\text{-}C_6)$cycloalkyl,

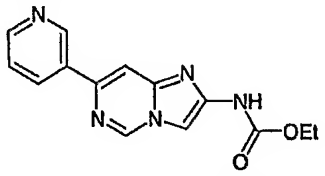
aryl,

heterocyclo, or

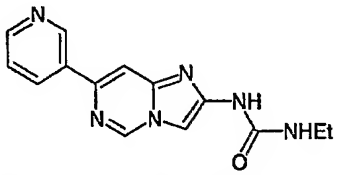
heteroaryl; and

R_4 is (C_1-C_6)alkyl, cyclopropyl, CH_2-cyclopropyl, or cyclobutyl.

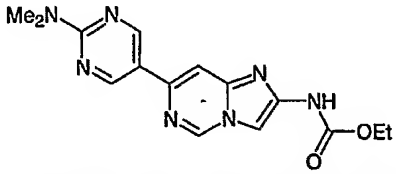
What is also provided is a compound which is:



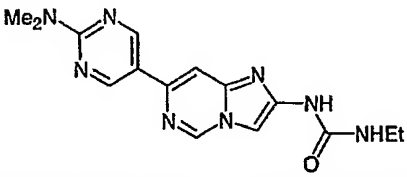
(7-Pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl)-carbamic acid ethyl ester;



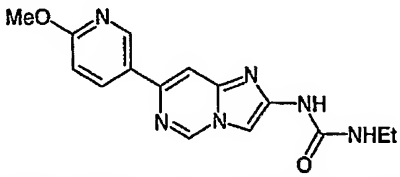
1-Ethyl-3-(7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl)-urea;



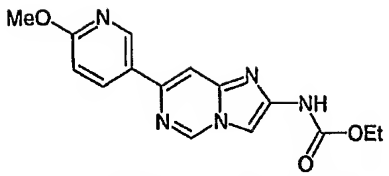
[7-(2-Dimethylamino-pyrimidin-5-yl)- imidazo[1,2-c]pyrimidin-2-yl]-carbamic acid ethyl ester;



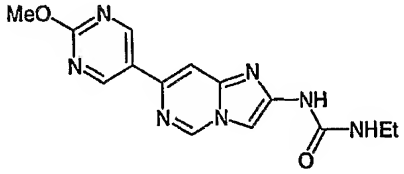
1-[7-(2-Dimethylamino-pyrimidin-5-yl)- imidazo[1,2-c]pyrimidin-2-yl]-3-ethyl-urea;



1-Ethyl-3-[7-(6-methoxy-pyridin-3-yl)- imidazo[1,2-c]pyrimidin-2-yl]-urea;



[7-(6-Methoxy-pyridin-3-yl)- imidazo[1,2-c]pyrimidin-2-yl]-carbamic acid ethyl ester; or



1-Ethyl-3-[7-(2-methoxy-pyrimidin-5-yl)- imidazo[1,2-c]pyrimidin-2-yl]-urea.

What is also provided is a pharmaceutical formulation comprising a compound of one of formula I admixed with a pharmaceutically acceptable diluent, carrier, or excipient.

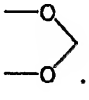
What is also provided is a method of treating a bacterial infection in a mammal, comprising administering to a mammal in need thereof an effective amount of a compound of one of formula I.

What is also provided is a method of decreasing bacterial quantity in a biological sample, comprising contacting the sample with a compound of formula I.

DETAILED DESCRIPTION OF THE INVENTION

Reference will now be made in detail to presently preferred compositions or embodiments and methods of the invention, which constitute the best modes of practicing the invention presently known to the inventors.

The term "(C_1-C_6)alkyl" as used herein refers to a straight or branched hydrocarbon of from 1 to 6 carbon atoms and includes, for example, methyl, ethyl, n-propyl, isopropyl, n-butyl, sec-butyl, isobutyl, *tert*-butyl, n-pentyl, n-hexyl, and

the like. The (C_1-C_6)alkyl group optionally can be substituted with one or more of the substituents selected from cycloalkyl, heterocycloalkyl, aryl, heteroaryl, (C_1-C_6)alkoxy, (C_1-C_6)thioalkoxy, halo, oxo, thio, -OH, -SH, -CF_3,- OCF_3, -NO_2, -NH_2, -CO_2H, -CO_2(C_1-C_6)alkyl, or  .

The term "(C_1-C_3)alkyl" as used herein refers to a straight or branched hydrocarbon of from 1 to 3 carbon atoms and includes, for example, methyl, ethyl, n-propyl, isopropyl, and the like. The (C_1-C_3)alkyl group optionally can be substituted with one or more of the substituents selected from cycloalkyl, heterocycloalkyl, aryl, heteroaryl, (C_1-C_6)alkoxy, (C_1-C_6)thioalkoxy, halo, oxo, thio, -OH, -SH, -CF_3,- OCF_3, -NO_2, -NH_2, -CO_2H, -CO_2(C_1-C_6)alkyl, or  .

The term "(C_3-C_6)cycloalkyl" means a hydrocarbon ring containing from 3 to 6 carbon atoms, for example, cyclopropyl, cyclobutyl, cyclopentyl, or cyclohexyl. Where possible, the cycloalkyl group may contain double bonds, for example, 3-cyclohexen-1-yl. The cycloalkyl ring may be unsubstituted or optionally may be substituted by one or more substituents selected from alkyl, alkoxy, thioalkoxy, hydroxy, thiol, halo, formyl, carboxyl, -CO_2(C_1-C_6)alkyl, -CO(C_1-C_6)alkyl, aryl, heteroaryl, wherein alkyl, aryl, and heteroaryl are as defined herein, or as indicated above for alkyl. Examples of substituted cycloalkyl groups include fluorocyclopropyl.

The term "halo" includes chlorine, fluorine, bromine, and iodine.

The term "aryl" means a cyclic or polycyclic aromatic ring having from 5 to 12 carbon atoms, and may be unsubstituted or optionally may be substituted with one or more of the substituent groups recited above for alkyl groups. Examples include, but are not limited to phenyl, 2-chlorophenyl, 3-chlorophenyl,

4-chlorophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2-chloro-3-methylphenyl, 2-chloro-4-methylphenyl, 2-chloro-5-methylphenyl, 3-chloro-2-methylphenyl, 3-chloro-4-methylphenyl, 4-chloro-2-methylphenyl, 4-chloro-3-methylphenyl, 5-chloro-2-methylphenyl, 2,3-dichlorophenyl, 2,5-dichlorophenyl, 3,4-dichlorophenyl, 2,3-dimethylphenyl, 3,4-dimethylphenyl, thienyl, naphthyl, 4-thionaphthyl, tetralinyl, benzonaphthenyl, and 4′-bromobiphenyl.

The term "heteroaryl" means an aromatic cyclic or polycyclic ring system having from 1 to 4 heteroatoms selected from N, O, and S. Typical heteroaryl groups include 2-, 3-, 5-oxadiazolyl, -, 2-, 4-, 5-oxadiazolyl, 2-, 4-, 5-thiadiazolyl, 2- or 3-thienyl, 2- or 3-furanyl, 2- or 3-pyrrolyl, 2-, 4-, or 5-imidazolyl, 3-, 4-, or 5-pyrazolyl, 2-, 4-, or 5-thiazolyl, 3-, 4-, or 5-isothiazolyl, 2-, 4-, or 5-oxazolyl, 3-, 4-, or 5-isoxazolyl, 3- or 5-1,2,4-triazolyl, 4- or 5-1,2,3-triazolyl, tetrazolyl, 2-, 3-, or 4-pyridinyl, 3-, 4-, or 5-pyridazinyl, 2-pyrazinyl, 2-, 4-, or 5-pyrimidinyl, 2-, 3-, 4-, 5-, 6-, 7-, or 8-quinolinyl, 1-, 3-, 4-, 5-, 6-, 7-, or 8-isoquinolinyl, 2-, 3-, 4-, 5-, 6-, or 7-indolyl, 2-, 3-, 4-, 5-, 6-, or 7-benzo[*b*]thienyl, 2-, 4-, 5-, 6-, or 7-benzoxazolyl, 2-, 4-, 5-, 6-, or 7-benzimidazolyl, 2-, 4-, 5-, 6-, or 7-benzothiazolyl. The heteroaryl groups may be unsubstituted or substituted by 1 to 3 substituents selected from those described above for alkyl, for example, cyanothienyl and formylpyrrolyl. Preferred aromatic fused heterocyclic rings of from 8 to 10 atoms include but are not limited to 2-, 3-, 4-, 5-, 6-, 7-, or 8-quinolinyl, 1-, 3-, 4-, 5-, 6-, 7-, or 8-isoquinolinyl-, 2-, 3-, 4-, 5-, 6-, or 7-indolyl, 2-, 3-, 4-, 5-, 6-, or 7-benzo[*b*]thienyl, 2-, 4-, 5-, 6-, or 7-benzoxazolyl, 2-, 4-, 5-, 6-, or 7-benzimidazolyl, 2-, 4-, 5-, 6-, or 7-benzothiazolyl. Heteroaryl also includes 2- and 3- aminomethylfuran, 2- and 3- aminomethylthiophene and the like..

The term "heterocyclic" means a saturated or unsaturated (but not aromatic) monocyclic, fused, bridged, or spiro bicyclic heterocyclic ring systems. Monocyclic heterocyclic rings contain from about 3 to 12 ring atoms, with from 1 to 5 heteroatoms selected from N, O, and S, and preferably from 3 to 7 member

atoms, in the ring. Bicyclic heterocyclics contain from about 5 to about 17 ring atoms, preferably from 5 to 12 ring atoms. Bicyclic heterocyclic rings may be fused, spiro, or bridged ring systems. Examples of heterocyclic groups include cyclic ethers (oxiranes) such as ethyleneoxide, tetrahydrofuran, dioxane, and substituted cyclic ethers, wherein the substituents are those described above for the alkyl and cycloalkyl groups. Typical substituted cyclic ethers include propyleneoxide, phenyloxirane (styrene oxide), cis-2-butene-oxide (2,3-dimethyloxirane), 3-chlorotetrahydrofuran, 2,6-dimethyl-1,4-dioxane, and the like. Heterocycles containing nitrogen are groups such as pyrrolidine, piperidine, piperazine, tetrahydrotriazine, tetrahydropyrazole, and substituted groups such as 3-aminopyrrolidine, 4-methylpiperazin-1-yl, and the like. Typical sulfur containing heterocycles include tetrahydrothiophene, dihydro-1,3-dithiol-2-yl, and hexahydrothiophen-4-yl and substituted groups such as aminomethyl thiophene. Other commonly employed heterocycles include dihydro-oxathiol-4-yl, dihydro-1*H*-isoindole, tetrahydro-oxazolyl, tetrahydro-oxadiazolyl, tetrahydrodioxazolyl, tetrahydrooxathiazolyl, hexahydrotriazinyl, tetrahydro-oxazinyl, morpholinyl, thiomorpholinyl, tetrahydropyrimidinyl, dioxolinyl, octahydrobenzofuranyl, octahydrobenzimidazolyl, and octahydrobenzothiazolyl. For heterocycles containing sulfur, the oxidized sulfur heterocycles containing SO or SO_2 groups are also included. Examples include the sulfoxide and sulfone forms of tetrahydrothiophene.

When a bond is represented by a symbol such as "------" this is meant to represent that the bond may be absent or present provided that the resultant compound is stable and of satisfactory valency.

When a bond is represented by a line such as "∿∿∿" this is meant to represent that the bond is the point of attachment between two molecular subunits.

The term "patient" means all mammals, including humans. Other examples of patients include cows, dogs, cats, goats, sheep, pigs, and rabbits.

A "therapeutically effective amount" is an amount of a compound of the present invention that, when administered to a patient, provides the desired effect; i.e., lessening in the severity of the symptoms associated with a bacterial infection.

It will be appreciated by those skilled in the art that compounds of the invention having one or more chiral centers may exist in and be isolated in optically active and racemic forms. Some compounds may exhibit polymorphism. It is to be understood that the present invention encompasses any racemic, optically-active, polymorphic, geometric, or stereoisomeric form, or mixtures thereof, of a compound of the invention, which possess the useful properties described herein, it being well known in the art how to prepare optically active forms (for example, by resolution of the racemic form by recrystallization techniques, by synthesis from optically-active starting materials, by chiral synthesis, or by chromatographic separation using a chiral stationary phase) and how to determine activity or cytotoxicity using the standard tests described herein, or using other similar tests which are well known in the art.

Certain compounds of Formula I are also useful as intermediates for preparing other compounds of Formula I.

Some of the compounds of Formula I are capable of further forming pharmaceutically acceptable acid-addition and/or base salts. All of these forms are within the scope of the present invention. Thus, pharmaceutically acceptable acid addition salts of the compounds of Formula I include salts derived from nontoxic inorganic acids such as hydrochloric, nitric, phosphoric, sulfuric, hydrobromic, hydriodic, hydrofluoric, phosphorous, and the like, as well as the salts derived from nontoxic organic acids, such as aliphatic mono- and dicarboxylic acids, phenyl-substituted alkanoic acids, hydroxy alkanoic acids, alkanedioic acids, aromatic acids, aliphatic and aromatic sulfonic acids, etc. Such salts thus include sulfate, pyrosulfate, bisulfate, sulfite, bisulfite, nitrate, phosphate, monohydrogenphosphate, dihydrogenphosphate, metaphosphate, pyrophosphate, acetate, trifluoroacetate, propionate, caprylate, isobutyrate, oxalate, malonate,

succinates suberate, sebacate, fumarate, maleate, mandelate, benzoate, chlorobenzoate, methylbenzoate, dinitrobenzoate, phthalate, benzensoulfonate, toluenesulfonate, phenylacetate, citrate, lactate, maleate, tartrate, methanesulfonate, and the like. Also contemplated are salts of amino acids such as arginate and the like and gluconate, galacturonate (see, for example, Berge S.M. et al., "Pharmaceutical Salts," *Journal of Pharmaceutical Science*, 1977;66:1-19).

The acid addition salt of said basic compounds are prepared by contacting the free base form with a sufficient amount of the desired acid to produce the salt in the conventional manner.

Pharmaceutically acceptable base addition salts are formed with metals or amines, such as alkali and alkaline earth metals or organic amines. Examples of metals used as cations are sodium, potassium, magnesium, calcium, and the like. Examples of suitable amines are N,N′-dibenzylethylenediamine, chloroprocaine, choline, diethanolamine, dicyclohexylamine, ethylenediamine, N-methylglucamine, and procaine (see, for example, Berge S.M., supra., 1977).

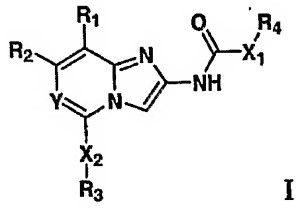
The base addition salts of said acidic compounds are prepared by contacting the free acid form with a sufficient amount of the desired base to produce the salt in the conventional manner.

Certain of the compounds of the present invention can exist in unsolvated forms as well as solvated forms, including hydrated forms. In general, the solvated forms, including hydrated forms, are equivalent to unsolvated forms and are intended to be encompassed within the scope of the present invention.

A "prodrug" is an inactive derivative of a drug molecule that requires a chemical or an enzymatic biotransformation in order to release the active parent drug in the body.

Specific and preferred values for the compounds of the present invention are listed below for radicals, substituents, and ranges are for illustration purposes only, and they do not exclude other defined values or other values within defined ranges for the radicals and substituents.

Thus, we turn now to a compound of formula I, which has the following structure.



I

In one embodiment of a compound of formula I,

X_1 is CH_2, NH, or O;

X_2 is absent or is CH_2, NH, or O;

Y is N, CH, or CF;

R_1 is H or F;

R_2 is $(C_3\text{-}C_6)$cycloalkyl,
$(CH_2)_x$-aryl,
$(CH_2)_x$-heterocyclo, or
$(CH_2)_x$-heteroaryl,
wherein x is 0, 1, or 2;

R_3 is aryl,
heterocyclo,
heteroaryl,
$C(O)NR_aR_b$,

$C(O)R_a$,

CO_2R_a,

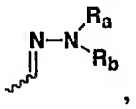
$C(O)C(O)NR_aR_b$,

SO_2R_a,

$SO_2NR_aR_b$,

$C(R_c)$=NOR,

$C(R_c)=NR_a$,



, wherein

R_a is H,

$(C_1\text{-}C_6)$alkyl,

$(C_3\text{-}C_6)$cycloalkyl,

$(CH_2)_y$-aryl,

$(CH_2)_y$-heterocyclo, or

$(CH_2)_y$-heteroaryl,

wherein y is 0, 1, or 2;

R_b is H,

$(C_1\text{-}C_6)$alkyl,

$(C_3\text{-}C_6)$cycloalkyl,

aryl,

heterocyclo, or

heteroaryl; and

R_4 is $(C_1\text{-}C_6)$alkyl, cyclopropyl, or CH_2-cyclopropyl.

In another embodiment of a compound of formula I,

X_1 is CH_2, NH, or O;

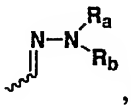
X_2 is absent or is CH_2, NH, or O;

Y is N, CH, or CF;

R_1 is H or F;

R_2 is $(C_3$-$C_6)$cycloalkyl,
$(CH_2)_x$-aryl,
$(CH_2)_x$-heterocyclo, or
$(CH_2)_x$-heteroaryl,
wherein x is 0, 1, or 2;

R_3 is aryl,
heterocyclo,
heteroaryl,
$C(O)NR_aR_b$,
$C(O)R_a$,
CO_2R_a,
$C(R_c)=NOR_a$,
$C(R_c)=NR_a$,



, wherein

R_a is H,
$(C_1$-$C_6)$alkyl,
$(C_3$-$C_6)$cycloalkyl,
$(CH_2)_y$-aryl,
$(CH_2)_y$-heterocyclo, or
$(CH_2)_y$-heteroaryl,
wherein y is 0, 1, or 2;

R_b is H,

$(C_1$-$C_6)$alkyl,
$(C_3$-$C_6)$cycloalkyl,
aryl,
heterocyclo, or
heteroaryl;

R_c is H,
$(C_1$-$C_6)$alkyl,
$(C_3$-$C_6)$cycloalkyl,
aryl,
heterocyclo, or
heteroaryl; and

R_4 is $(C_1$-$C_6)$alkyl, cyclopropyl, or CH_2-cyclopropyl.

In still another embodiment of a compound of formula I,
X_1 is CH_2, NH, or O;

X_2 is absent or is CH_2, NH, or O;

Y is N, CH, or CF;

R_1 is H or F;

R_2 is $(C_3$-$C_6)$cycloalkyl,
$(CH_2)_x$-aryl,
$(CH_2)_x$-heterocyclo, or
$(CH_2)_x$-heteroaryl,
wherein x is 0, 1, or 2;

R_3 is aryl,
heterocyclo,

heteroaryl,

$C(O)NR_aR_b$,

$C(O)R_a$,

CO_2R_a, wherein

R_a is H,

$(C_1\text{-}C_6)$alkyl,

$(C_3\text{-}C_6)$cycloalkyl,

$(CH_2)_y$-aryl,

$(CH_2)_y$-heterocyclo, or

$(CH_2)_y$-heteroaryl,

wherein y is 0, 1, or 2;

R_b is H,

$(C_1\text{-}C_6)$alkyl,

$(C_3\text{-}C_6)$cycloalkyl,

aryl,

heterocyclo, or

heteroaryl; and

R_4 is $(C_1\text{-}C_6)$alkyl, cyclopropyl, or CH_2-cyclopropyl.

In still another embodiment of a compound of formula I,

X_1 is NH;

X_2 is absent or is CH_2, NH, or O;

Y is N or CH;

R_1 is H;

R_2 is $(C_3\text{-}C_6)$cycloalkyl,

$(CH_2)_x$-aryl,

$(CH_2)_x$-heterocyclo, or

$(CH_2)_x$-heteroaryl,

wherein x is 0, 1, or 2;

R_3 is aryl,

heterocyclo,

heteroaryl,

$C(O)NR_aR_b$,

$C(O)R_a$,

CO_2R_a, wherein

R_a is H,

$(C_1$-$C_6)$alkyl,

$(C_3$-$C_6)$cycloalkyl,

$(CH_2)_y$-aryl,

$(CH_2)_y$-heterocyclo, or

$(CH_2)_y$-heteroaryl,

wherein y is 0, 1, or 2;

R_b is H,

$(C_1$-$C_6)$alkyl,

$(C_3$-$C_6)$cycloalkyl,

aryl,

heterocyclo, or

heteroaryl; and

R_4 is ethyl.

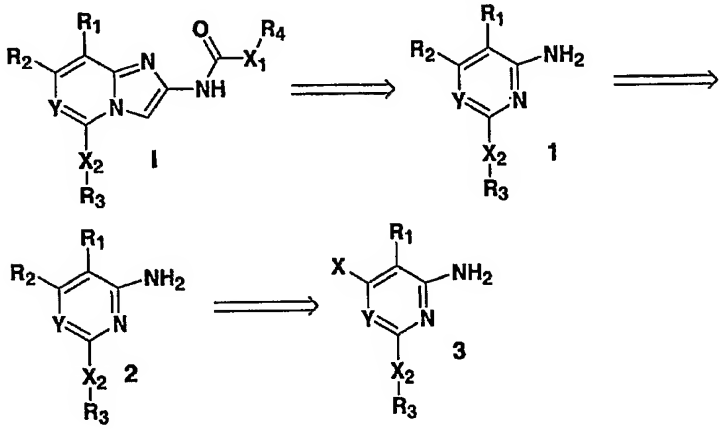
Embodiments of invention compounds of formulas II and III are as provided for compounds of formula I, except: in formula II, Y is C-H, C-F, or C-OMe, and in formula III, Y is N.

Preparation of Invention Compounds

Strategies for the preparation of invention compounds are depicted in Schemes I and II, and more specifically in Schemes 1-10. The numbering conventions for the "R" substitutens R_1, R_2, and X_2R_3 are as provided for compounds of formula I.

Thus, as depicted retrosynthetically in Scheme I, the fused bicyclic core that characterizes invention compounds can be constructed via reaction of appropriately substituted pyridinyl (Y= C-H, C-F, C-OMe) or pyrimidinyl (Y= N) deriviatives **1** using (2-Chloro-acetyl)-carbamic acid ethyl ester, *N*-(chloroacetyl)-*N'*-ethylurea or an equivalent, in the presence of an amine base. The requisite appropriately substituted pyridinyl (Y= C-H, C-F, C-OMe) or pyrimidinyl (Y= N) deriviatives **1** can be prepared by coupling R_2-Y wherein Y is halo with compound 3, wherein X is $B(OH)_2$ or the like.

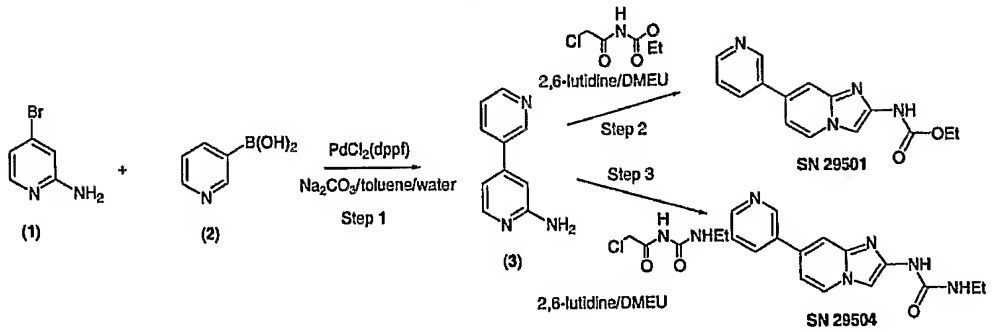
Scheme I



Schemes 1 and 2 provide an approaches to invention compounds wherein R_2 is aryl, heteroary, and Y is NH or O. Thus, in Scheme 1, palladium catalyzed coupling of 4-Bromo-pyridin-2-ylamine (1) with borane (2) provides [3,4']Bipyridinyl-2'-ylamine (3). Reaction of compound 3 with (2-chloro-acetyl)-carbamic acid ethyl ester or 1-(2-chloro-acetyl)-3-ethyl-urea in the presence of an

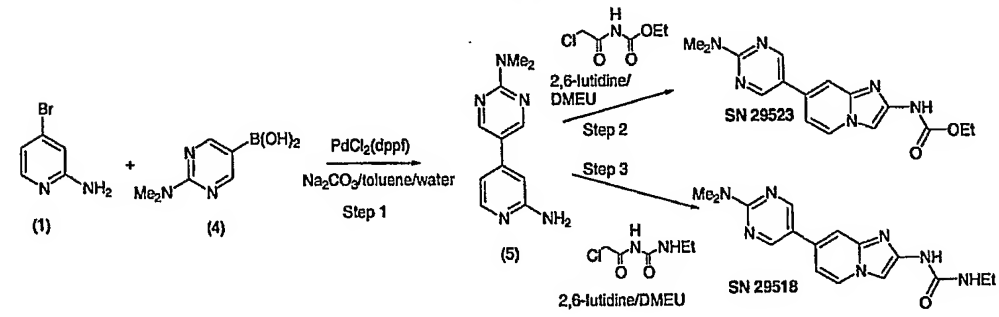
amine base such as lutidine (although other amine bases known to the practitioner could also be used) provides the invention compounds.

Scheme 1



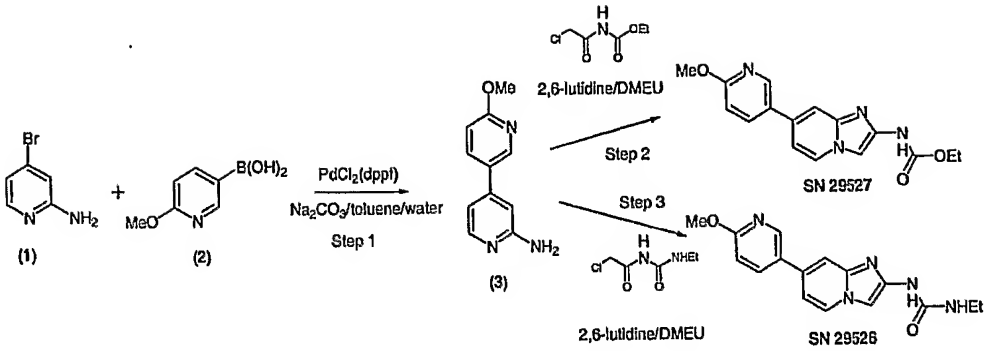
Similarly in Scheme 2, palladium-catalyzed coupling of compound (1) with borane (4) provides [5-(2-amino-pyridin-4-yl)-pyrimidin-2-yl]-dimethyl-amine (5). In an similar fashion as disclosed in Scheme 1, compound 5 can be converted to the invention compounds.

Scheme 2

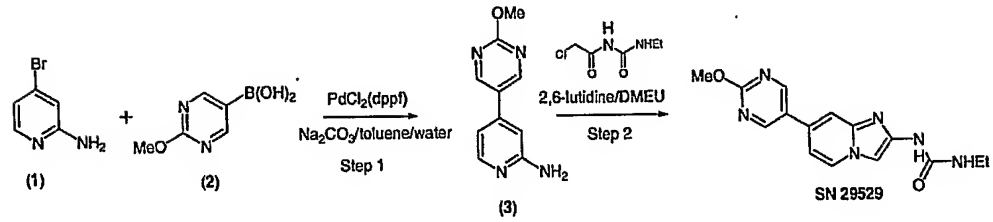


Schemes 3 and 4 provide additional variants of the approach presented in Scheme I, and detailed in Schemes 2 and 3.

Scheme 3

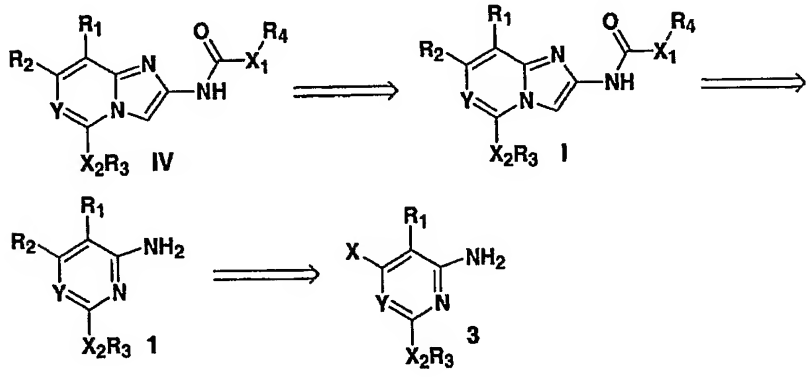


Scheme 4



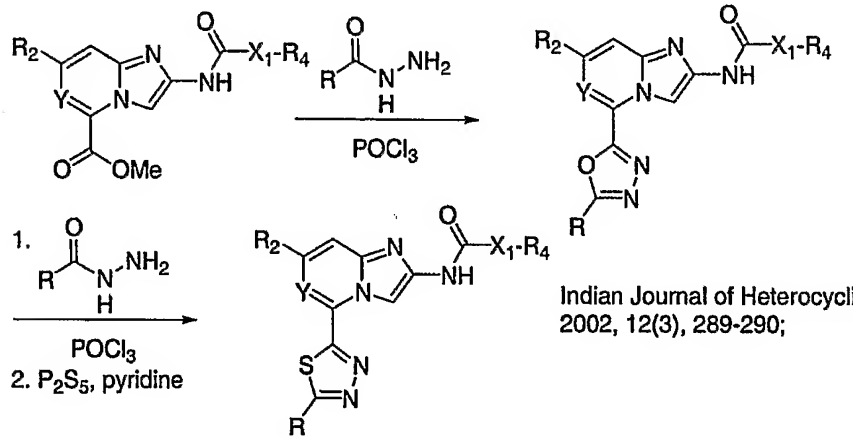
Scheme II discloses a retrosynthetic approach to variously substituted invention compounds wherein X-R_2 is other than H. Thus, compound 3 wherein X_2R_3 is an ester or the like can be subjected through the same series of reactions as disclosed in Scheme 1 to provide invention compound I wherein X_2R_3 is an ester or the like. Compound I can be converted to invention compound IV wherein R_2 is a heteroaryl group using methods widely available to the skilled artisan.

Scheme II



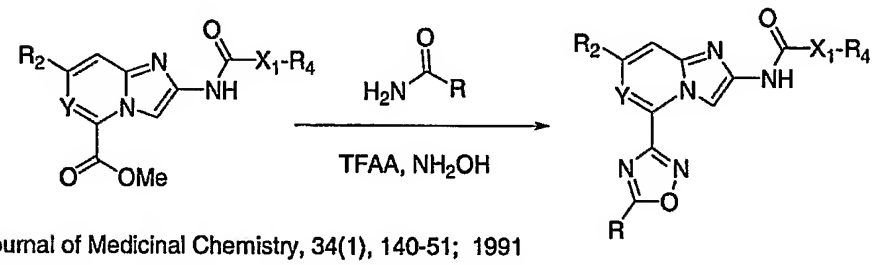
For example, Schemes 5 and 6 disclose conversion of one invention compound wherein R_4 methyl ester to other invention compounds, wherein X-R_2 is 2-, 4-, 5-oxadizolyl, 2-, 4-, 5-thiadizolyl, or 2-, 3-, 5-oxadizolyl, respectively.

Scheme 5



Indian Journal of Heterocyclic Chemistry, 2002, 12(3), 289-290;

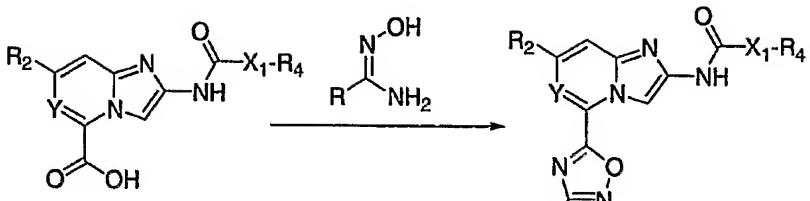
Scheme 6



Journal of Medicinal Chemistry, 34(1), 140-51; 1991

Scheme 7 provides an alternative approach to invention compounds wherein X_2-R_3 is 2-, 3-, 5-oxadizolyl commencing from the carboxylic acid.

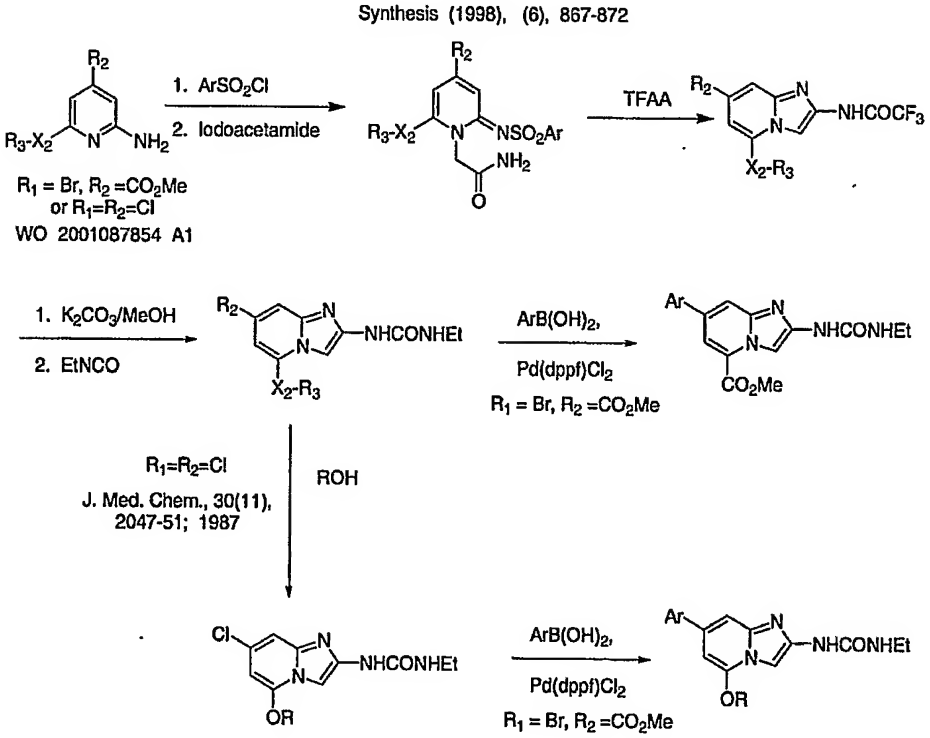
Scheme 7



Synthesis, (6), 899-905; 2003

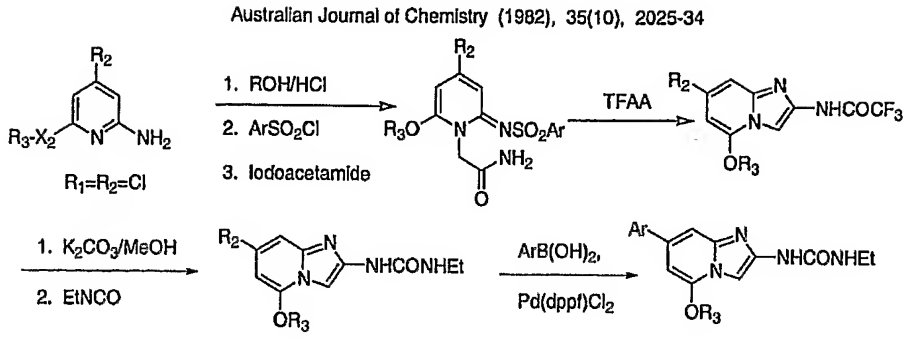
Scheme 8 provides an approach to invention compounds wherein X_2 is O and R_3 is as defined herein.

Scheme 8



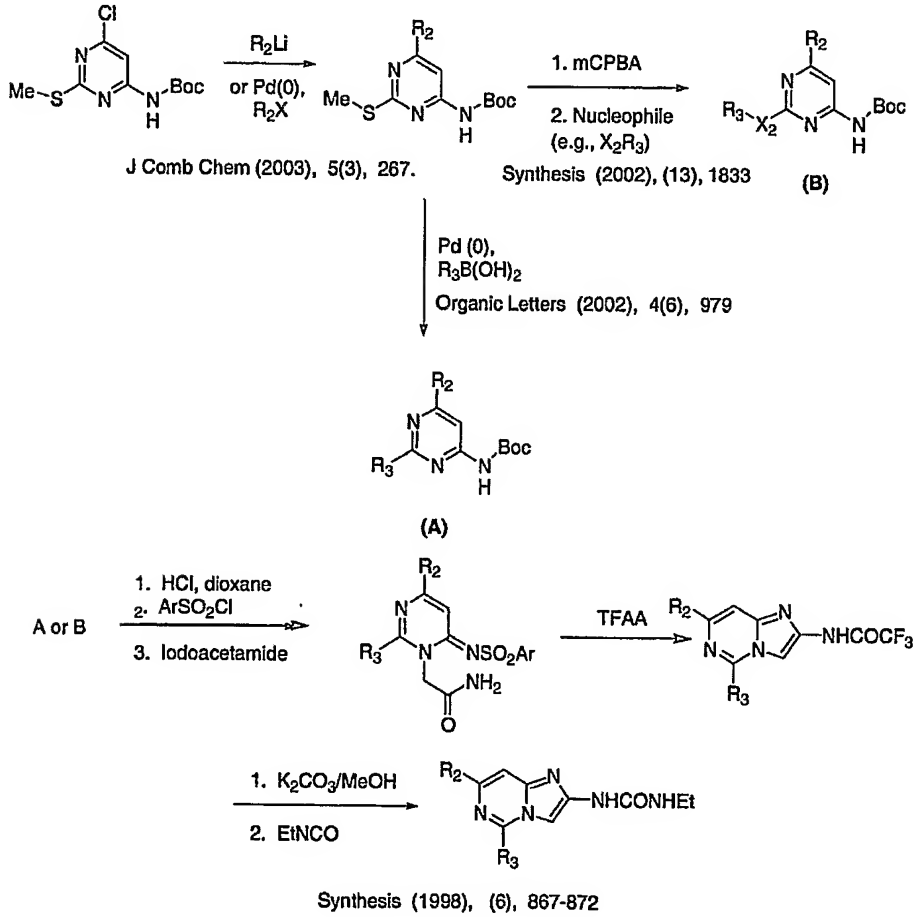
A variant of the Scheme 8 approach is provided in Scheme 9, wherein the X_2-R_3 (e.g., OR) is introduced at the beginning, rather than the end , of the synthesis.

Scheme 9



An approach to the preparation of compounds of formula I wherein Y is N is provided in Scheme 10 commencing intermediates A or B, which may be prepared as disclosed in the art.

Scheme 10



Pharmaceutical Formulations

The present invention also provides pharmaceutical compositions which comprise a bioactive invention compound or a salt such or a pharmaceutically acceptable salt thereof and optionally a pharmaceutically acceptable carrier. The compositions include those in a form adapted for oral, topical or parenteral use and can be used for the treatment of bacterial infection in mammals including humans.

Compounds of the invention can be formulated for administration in any convenient way for use in human or veterinary medicine, by analogy with other bioactive agents such as antibiotics. Such methods are known in the art and are not described in detail herein.

The composition can be formulated for administration by any route known in the art, such as subdermal, by-inhalation, oral, topical or parenteral. The compositions may be in any form known in the art, including but not limited to tablets, capsules, powders, granules, lozenges, creams or liquid preparations, such as oral or sterile parenteral solutions or suspensions.

The topical formulations of the present invention can be presented as, for instance, ointments, creams or lotions, eye ointments and eye or ear drops, impregnated dressings and aerosols, and may contain appropriate conventional additives such as preservatives, solvents to assist drug penetration and emollients in ointments and creams.

The formulations may also contain compatible conventional carriers, such as cream or ointment bases and ethanol or oleyl alcohol for lotions. Such carriers may be present, for example, from about 1% up to about 98% of the formulation. For example, they may form up to about 80% of the formulation.

Tablets and capsules for oral administration may be in unit dose presentation form, and may contain conventional excipients such as binding

agents, for example syrup, acacia, gelatin, sorbitol, tragacanth, or polyvinylpyrollidone; fillers, for example lactose, sugar, maize-starch, calcium phosphate, sorbitol or glycine; tabletting lubricants, for example magnesium stearate, talc, polyethylene glycol or silica; disintegrants, for example potato starch; or acceptable wetting agents such as sodium lauryl sulphate. The tablets may be coated according to methods will known in normal pharmaceutical practice.

Oral liquid preparations may be in the form of, for example, aqueous or oily suspensions, solutions, emulsions, syrups or elixirs, or may be presented as a dry product for reconstitution with water or other suitable vehicle before use. Such liquid preparations may contain conventional additives, such as suspending agents, for example sorbitol, methyl cellulose, glucose syrup, gelatin, hydroxyethyl cellulose, carboxymethyl cellulose, aluminium stearate gel or hydrogenated edible fats, emulsifying agents, for example lecithin, sorbitan monooleate, or acacia; non-aqueous vehicles (which may include edible oils), for example almond oil, oily esters such as glycerine, propylene glycol, or ethyl alcohol; preservatives, for example methyl or propyl p-hydroxybenzoate or sorbic acid, and, if desired, conventional flavoring or coloring agents.

For parenteral administration, fluid unit dosage forms are prepared utilizing the compound and a sterile vehicle, water being preferred. The compound, depending on the vehicle and concentration used, can be either suspended or dissolved in the vehicle or other suitable solvent. In preparing solutions, the compound can be dissolved in water for injection and filter sterilized before filling into a suitable vial or ampoule and sealing. Advantageously, agents such as a local anesthetic preservative and buffering agents can be dissolved in the vehicle. To enhance the stability, the composition can be frozen after filling into the vial and the water removed under vacuum. The dry lyophilized powder is then sealed in the vial and an accompanying vial of water for injection may be supplied to reconstitute the liquid prior to use. Parenteral suspensions are prepared in substantially the same manner except that

the compound is suspended in the vehicle instead of being dissolved and sterilization cannot be accomplished by filtration. The compound can be sterilized by exposure to ethylene oxide before suspending in the sterile vehicle. Advantageously, a surfactant or wetting agent is included in the composition to facilitate uniform distribution of the compound.

The compositions may contain, for example, from about 0.1% by weight, e.g., from about 10-60% by weight, of the active material, depending on the method of administration. Where the compositions comprise dosage units, each unit will contain, for example, from about 50-500 mg of the active ingredient. The dosage as employed for adult human treatment will range, for example, from about 100 to 3000 mg per day, for instance 1500 mg per day depending on the route and frequency of administration. Such a dosage corresponds to about 1.5 to 50 mg/kg per day. Suitably the dosage is, for example, from about 5 to 20 mg/kg per day.

Biological Activity

The invention compounds can be screened to identify bioactive molecules with different biological activities using methods available in the art. The bioactive molecules, for example, can possess activity against a cellular target, including but not limited to enzymes and receptors, or a microorganism. A target cellular ligand or microorganism is one that is known or believed to be of importance in the etiology or progression of a disease. Examples of disease states for which compounds can be screened for biological activity include, but are not limited to, inflammation, infection, hypertension, central nervous system disorders, and cardiovascular disorders.

In one embodiment, the invention provides methods of treating or preventing a bacterial infection in a subject, such as a human or other animal subject, comprising administering an effective amount of an invention compound as disclosed herein to the subject. In one embodiment, the compound is administered in a pharmaceutically acceptable form optionally in a

pharmaceutically acceptable carrier. As used herein, an "infectious disorder" is any disorder characterized by the presence of a microbial infection, such as bacterial infections. Such infectious disorders include, for example central nervous system infections, external ear infections, infections of the middle ear, such as acute otitis media, infections of the cranial sinuses, eye infections, infections of the oral cavity, such as infections of the teeth, gums and mucosa, upper respiratory tract infections, lower respiratory tract infections, genitourinary infections, gastrointestinal infections, gynecological infections, septicemia, bone and joint infections, skin and skin structure infections, bacterial endocarditis, burns, antibacterial prophylaxis of surgery, and antibacterial prophylaxis in immunosuppressed patients, such as patients receiving cancer chemotherapy, or organ transplant patients. The compounds and compositions comprising the compounds can be administered by routes such as topically, locally or systemically. Systemic application includes any method of introducing the compound into the tissues of the body, e.g., intrathecal, epidural, intramuscular, transdermal, intravenous, intraperitoneal, subcutaneous, sublingual, rectal, and oral administration. The specific dosage of antimicrobial to be administered, as well as the duration of treatment, may be adjusted as needed.

The compounds of the invention may be used for the treatment or prevention of infectious disorders caused by a variety of bacterial organisms. Examples include Gram positive and Gram negative aerobic and anaerobic bacteria, including Staphylococci, for example S. aureus; Enterococci, for example E. faecalis; Streptococci, for example S. pneumoniae; Haemophilus, for example H. influenza; Moraxella, for example M. catarrhalis; and Escherichia, for example E. coli. Other examples include Mycobacteria, for example M. tuberculosis; intercellular microbes, for example Chlamydia and Rickettsiae; and Mycoplasma, for example M. pneumoniae.

The ability of a compound of the invention to inhibit bacterial growth, demonstrate in vivo activity, and enhanced pharmacokinetics are demonstrated

using pharmacological models that are well known to the art, for example, using models such as the tests described below.

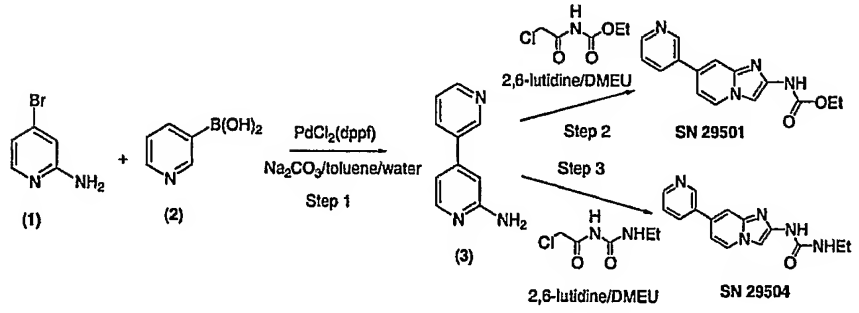
Test A--Antibacterial Assays

The compounds of the present invention were tested against an assortment of Gram-negative and Gram-positive organisms using standard microtitration techniques (Cohen et. al., *Antimicrob.*, 1985;28:766; Heifetz, et. al., *Antimicrob.*, 1974;6:124). For example, 1-Ethyl-3-(7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl)-urea exhibited MIC levels of generally less than 64, and typically between 4 and 16, against a spectrum of bacterial strains, including S. aureus, S. pneumoniae, S. pyogenes, and H. influenzae, as well as N. gonorrhoeae and E. coli.

The following examples are provided to illustrate but not limit the claimed invention.

Example 1

Preparation of (7-Pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl)-carbamic acid ethyl ester (SN29501) and 1-Ethyl-3-(7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl)-urea (SN 29504)



Step 1: Preparation of [3,4']Bipyridinyl-2'-ylamine

2N Na_2CO_3 (20 mL, 0.04 mol) was added to a suspension of aminopyridine (1) (1.00g, 5.78 mmol) and boronic acid (2) (1.06 g, 8.67 mmol) in toluene (60 mL) and the mixture was purged with nitrogen gas. $PdCl_2(dppf)$ (0.17

g, 0.21 mmol) was added and the mixture was refluxed under nitrogen for 1.5 hours. Ethyl acetate was added and the solution was washed with water, dried over Na_2SO_4 and adsorbed onto silica by removal of solvent *in vacuo*. The residue was chromatographed on silica, eluting with MeOH/EtOAc (1:15) to give product (**3**) as a tan powder (0.87 g, 87%). ^{1}H NMR (400 MHz, DMSO-D6) δ ppm 8.85 (d, J=1.8 Hz, 1H), 8.63 (dd, J=4.8, 1.5 Hz, 1H), 8.03 (ddd, J=7.9, 1.8, 1.8 Hz, 1H), 8.01 (d, J=5.3 Hz, 1H), 7.50 (ddd, J=5.3, 7.9, 0.5 Hz, 1H), 6.84 (dd, J=5.3, 1.6 Hz, 1H), 6.73 (d, J=0.9 Hz, 1H), 6.06 (br s, 2H). APCI-MS found: $[M+H]^+$=172.

Step 2: Preparation of (7-Pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl)-carbamic acid ethyl ester (SN29501)

A solution of aminopyridine (**3**) (0.94 g, 5.49 mmol), ethyl chloroacetylcarbamate (1.09 g, 6.58 mmol) and 2,6-lutidine (0.76 mL, 6.58 mmol) in 1,3-dimethyl-2-imidazolidinone (6 mL) was warmed under nitrogen at 110 °C for 4.5 hours. The mixture was diluted with EtOAc and washed with water (6 times), then adsorbed onto silica by removal of solvent *in vacuo*. The product was chromatographed on silica. Elution with EtOAc gave foreruns, while MeOH/EtOAc (2:23) eluted product (SN 29501) (79 mg, 5%) as a tan solid, mp 248-252 °C (decomposed). ^{1}H NMR (400 MHz, DMSO-D6) δ ppm 10.22 (br s, 1H), 9.01 (d, J=2.0 Hz, 1H), 8.61 (d, J=7.1 Hz, 1H), 8.59 (dd, J=4.8 Hz, 1H), 8.20 (ddd, J=8.0, 1.7, 1.7 Hz, 1H), 7.90 (s, 1H), 7.81 (br s, 1H), 7.51 (dd, J=8.0. 4.8 Hz, 1H), 7.29 (dd, J=7.1, 2.0 Hz, 1H), 4.16 (q, J=7.1 Hz, 2H), 1.26 (t, J=7.1 Hz, 3H).

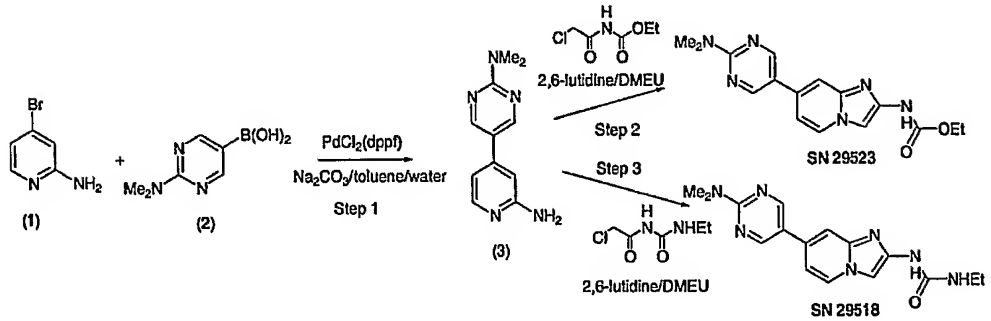
Step 3: Preparation of 1-Ethyl-3-(7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl)-urea (SN 29504)

A solution of aminopyridine (**3**) (0.73 g, 4.26 mmol), *N*-(chloroacetyl)-*N'*-ethylurea (0.84 g, 5.10 mmol) and 2,6-lutidine (0.59 mL, 5.10 mmol) in 1,3-dimethyl-2-imidazolidinone (7 mL) was warmed under nitrogen at 110 °C for 5 hours. The mixture was diluted with EtOAc and washed with water (6x), then adsorbed onto silica by removal of solvent *in vacuo*. The product was chromatographed on silica. Elution with EtOAc gave foreruns, while MeOH/EtOAc (2:23) eluted product (SN 29504) (0.76 mg, 6%) as a tan solid, mp

290-294 °C (decomposed). ^{1}H NMR (400 MHz, DMSO-D6) δ ppm 9.01 (d, J=2.0 Hz, 1H), 8.91 (s, 1H), 8.60-8.54 (m, 1H), 8.20 (ddd, J=8.0, 1.7, 1.7 Hz, 1H), 7.79 (s, 1H), 7.78 (br s, 1H), 7.49 (dd, J=8.0. 4.8 Hz, 1H), 7.27 (dd, J=7.1, 2.0 Hz, 1H), 6.80 (br, 1H), 3.15 (dq, J=7.2, 5.7 Hz, 2H), 1.08 (t, J=7.2 Hz, 3H).

Example 2

Preparation of [7-(2-Dimethylamino-pyrimidin-5-yl)-imidazo[1,2-a]pyridin-2-yl]-carbamic acid ethyl ester (SN 29523) and 1-[7-(2-Dimethylamino-pyrimidin-5-yl)-imidazo[1,2-a]pyridin-2-yl]-3-ethyl-urea (SN 29518)



Step 1: Preparation of [5-(2-Amino-pyridin-4-yl)-pyrimidin-2-yl]-dimethyl-amine

As in Example 1, step 1. A suspension of boronic acid (**2**) (2.31 g, 0.014 mol) in ethanol (40 mL) was added to a solution of aminopyridine (**1**) (2.00 g, 0.011 mol) in toluene (120 mL) and the mixture was stirred until homogeneous. Aqueous sodium carbonate (40 mL of 2N, 0.08 mol) was added and the mixture was purged with nitrogen gas. $PdCl_2$(dppf) (0.28 g, 0.35 mmol) was added and the mixture was refluxed under nitrogen for 2 hours. Ethyl acetate was added and the solution was washed with water, dried over Na_2SO_4 and concentrated to a volume of ca. 30 mL, when the product (**3**) precipitated as a tan powder (2.24 g, 90%). ^{1}H NMR (400 MHz, DMSO-D6) δ ppm 8.65 (s, 2H), 7.93 (d, J=5.4 Hz, 1H), 6.77 (dd, J=5.4, 1.6 Hz, 1H), 6.65 (d, J=1.6 Hz, 1H), 3.16 (s, 6H). APCI-MS found: $[M+H]^+$=216.

Step 2: Preparation of [7-(2-Dimethylamino-pyrimidin-5-yl)-imidazo[1,2-a]pyridin-2-yl]-carbamic acid ethyl ester (SN 29523)

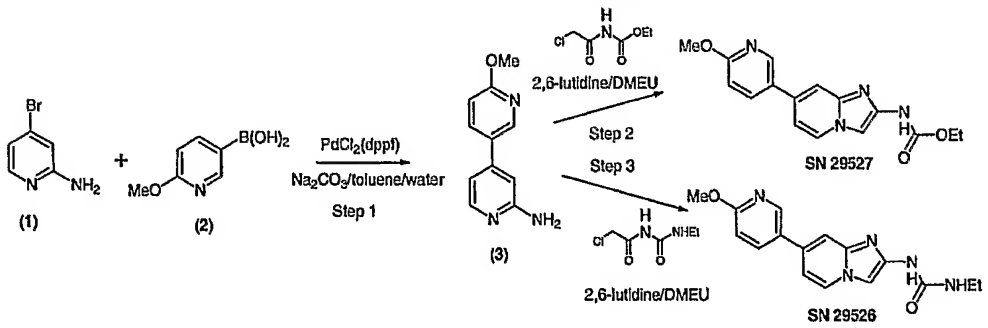
As in Example 1, step 2. A solution of aminopyridine (**3**) (1.08 g, 5.01 mmol), ethyl chloroacetylcarbamate (1.00 g, 6.02 mmol) and 2,6-lutidine (0.70 mL, 6.02 mmol) in 1,3-dimethyl-2-imidazolidinone (10 mL) was warmed under nitrogen at 110 °C for 4.5 hours. The mixture was diluted with EtOAc and washed with water, when a tan precipitate formed. This was removed by filtration and triturated with 5% MeOH/EtOAc, then 5% MeOH/acetone, then MeOH to leave the product (SN 29523) (0.50 g, 31%) as a yellow solid, mp 270-280 °C (decomposed). ^{1}H NMR (400 MHz, DMSO-D6) δ ppm 10.14 (br, 1H), 8.82 (s, 2H), 8.54 (d, J=7.0 Hz, 1H), 7.82 (br s, 1H), 7.68 (d, J=1.8 Hz, 1H), 7.21 (dd, J=7.0, 1.8 Hz, 1H), 4.16 (q, J=7.1 Hz, 2H), 3.18 (s, 6H), 1.25 (t, J=7.1 Hz, 3H).

Step 3: Preparation of 1-[7-(2-Dimethylamino-pyrimidin-5-yl)-imidazo[1,2-a]pyridin-2-yl]-3-ethyl-urea (SN 29518)

As in Example 1, step 3. A solution of aminopyridine (**3**) (0.89 g, 4.13 mmol), *N*-(chloroacetyl)-*N'*-ethylurea (0.88 g, 4.98 mmol) and 2,6-lutidine (0.58 mL, 4.98 mmol) in 1,3-dimethyl-2-imidazolidinone (9 mL) was warmed under nitrogen at 110 °C for 4.5 hours. The mixture was diluted with EtOAc and washed with water (6x), then adsorbed onto silica by removal of solvent *in vacuo*. The product was chromatographed on silica. Elution with EtOAc gave foreruns, while MeOH/EtOAc (2:23) eluted product (SN 29518) (0.13 g, 10%) as a tan solid, mp 259-263 °C (decomposed). ^{1}H NMR (400 MHz, DMSO-D6) δ ppm 8.84 (br s, 1H), 8.81 (s, 2H), 8.50 (d, J=7.1 Hz, 1H), 7.71 (s, 1H), 7.65 (d, J=1.8 Hz, 1H), 7.18 (dd, J=7.1, 1.8 Hz, 1H), 6.70 (br, 1H), 3.18 (s, 6H), 3.16 (dq, J=7.1, 5.4 Hz, 2H), 1.08 (t, J=7.1 Hz, 3H).

Example 3

Preparation of [7-(6-Methoxy-pyridin-3-yl)-imidazo[1,2-a]pyridin-2-yl]-carbamic acid ethyl ester (SN 29527) and 1-Ethyl-3-[7-(6-methoxy-pyridin-3-yl)-imidazo[1,2-a]pyridin-2-yl]-urea (SN 29526)



Step 1: Preparation of 6-Methoxy-[3,4']bipyridinyl-2'-ylamine

As in Example 1, step 1. A suspension of boronic acid (**2**) (2.12 g, 0.014 mol) in ethanol (40 mL) was added to a solution of aminopyridine (**1**) (2.00 g, 0.012 mol) in toluene (120 mL) and the mixture was stirred until homogeneous. Aqueous sodium carbonate (40 mL of 2N, 0.08 mol) was added and the mixture was purged with nitrogen gas. $PdCl_2(dppf)$ (0.28 g, 0.35 mmol) was added and the mixture was refluxed under nitrogen for 4 hours. Ethyl acetate was added and the solution was washed with water, dried over Na_2SO_4 and concentrated to a volume of ca. 30 mL, when the product (**3**) precipitated as a tan powder (1.71 g, 74%). ^1H NMR (400 MHz, DMSO-D6) δ ppm 8.47 (d, J=2.5 Hz, 1H), 7.98-7.93 (m, 2H), 6.93 (d, J=8.6 Hz, 1H), 6.79 (dd, J=5.4, 1.6 Hz, 1H), 6.68 (d, J=0.9 Hz, 1H), 5.94 (br s, 2H), 3.90 (s, 3H). APCI-MS found: $[M+H]^+$=202.

Step 2: Preparation of [7-(6-Methoxy-pyridin-3-yl)-imidazo[1,2-a]pyridin-2-yl]-carbamic acid ethyl ester (SN 29527)

As in Example 1, step 2. A solution of aminopyridine (**3**) (0.86 g, 4.28 mmol), ethyl chloroacetylcarbamate (0.85 g, 5.14 mmol) and 2,6-lutidine (0.60 mL, 5.14 mmol) in 1,3-dimethyl-2-imidazolidinone (9 mL) was warmed under nitrogen at 110 °C for 5 hours. The mixture was diluted with EtOAc and washed with water (6 times), then adsorbed onto silica by removal of solvent *in vacuo*.

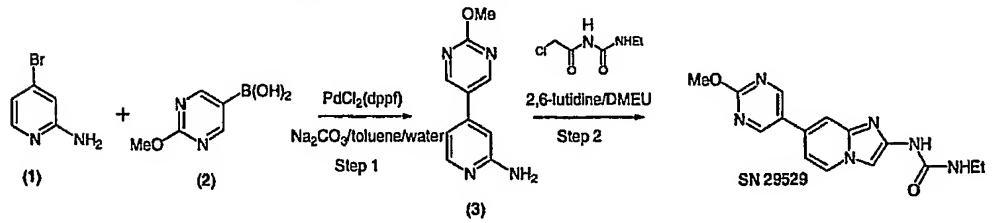
The product was chromatographed on silica. Elution with EtOAc gave product (SN 29527) (0.18 g, 13%) as a tan solid, mp 273-279 °C (decomposed). ^{1}H NMR (400 MHz, DMSO-D6) δ ppm 10.17 (br s, 1H), 8.62 (d, J=2.3 Hz, 1H), 8.56 (d, J=7.1 Hz, 1H), 8.14 (dd, J=8.7, 2.3 Hz, 1H), 7.86 (br s, 1H), 7.70 (br s, 1H), 7.23 (dd, J=7.1, 1.8 Hz, 1H), 6.93 (d, J=8.7 Hz, 1H), 4.16 (q, J=7.1 Hz, 2H), 3.91 (s, 3H), 1.26 (t, J=7.1 Hz, 3H).

Step 3: Preparation of 1-Ethyl-3-[7-(6-methoxy-pyridin-3-yl)-imidazo[1,2-a]pyridin-2-yl]-urea (SN 29526)

As in Example 1, step 3. A solution of aminopyridine (3) (0.90 g, 4.47 mmol), *N*-(chloroacetyl)-*N'*-ethylurea (0.88 g, 5.37 mmol) and 2,6-lutidine (0.62 mL, 5.37 mmol) in 1,3-dimethyl-2-imidazolidinone (9 mL) was warmed under nitrogen at 110 °C for 4.5 hours. The mixture was diluted with EtOAc and washed with water (6x), then adsorbed onto silica by removal of solvent *in vacuo*. The product was chromatographed on silica. Elution with EtOAc gave foreruns, while MeOH/EtOAc (2:23) eluted product (SN 29526) (0.12 g, 9%) as a tan solid, mp 222-225 °C (decomposed). ^{1}H NMR (400 MHz, DMSO-D6) δ ppm 8.86 (br s, 1H), 8.61 (d, J=2.3 Hz, 1H), 8.52 (d, J=7.1 Hz, 1H), 8.13 (dd, J=8.7, 2.3 Hz, 1H), 7.74 (br s, 1H), 7.67 (br s, 1H), 7.20 (dd, J=7.1, 1.8 Hz, 1H), 6.92 (d, J=8.7 Hz, 1H), 6.69 (br, 1H), 3.91 (s, 3H), 3.16 (dq, J=7.1, 5.7 Hz, 2H), 3.91 (s, 3H), 1.08 (t, J=7.1 Hz, 3H).

Example 4

Preparation of 1-Ethyl-3-[7-(2-methoxy-pyrimidin-5-yl)-imidazo[1,2-a]pyridin-2-yl]-urea (SN 29529)



Step 1: Preparation of 4-(2-Methoxy-pyrimidin-5-yl)-pyridin-2-ylamine

As in Example 1, step 1. A suspension of boronic acid (**2**) (1.57 g, 0.010 mol) in ethanol (30 mL) was added to a solution of aminopyridine (**1**) (1.47 g, 8.50 mmol) in toluene (90 mL) and the mixture was stirred until homogeneous. Aqueous sodium carbonate (30 mL of 2N, 0.06 mol) was added and the mixture was purged with nitrogen gas. $PdCl_2$(dppf) (0.20 g, 0.24 mmol) was added and the mixture was refluxed under nitrogen for 3 hours. Ethyl acetate was added and the solution was washed with water, dried over Na_2SO_4 and concentrated to a volume of approximately 20 mL, when the product (**3**) precipitated as a tan powder (1.52 g, 89%). ^{1}H NMR (400 MHz, DMSO-D6) δ ppm 8.89 (s, 2H), 8.00 (d, J=5.3 Hz, 1H), 6.84 (dd, J=5.3, 1.6 Hz, 1H), 6.71 (d, J=1.6 Hz, 1H), 3.97 (s, 3H). APCI-MS found: $[M+H]^+$=203.

Step 2: Preparation of 1-Ethyl-3-[7-(2-methoxy-pyrimidin-5-yl)-imidazo[1,2-a]pyridin-2-yl]-urea (SN 29529)

As in Example 1, step 2. A solution of aminopyridine (**3**) (1.21 g, 5.98 mmol), *N*-(chloroacetyl)-*N'*-ethylurea (1.18 g, 7.18 mmol) and 2,6-lutidine (0.83 mL, 7.18 mmol) in 1,3-dimethyl-2-imidazolidinone (12 mL) was warmed under nitrogen at 110 °C for 5 hours. The mixture was diluted with EtOAc and washed with water (6 times), then adsorbed onto silica by removal of solvent *in vacuo*. The product was chromatographed on silica. Elution with EtOAc gave foreruns, while MeOH/EtOAc (2:23) eluted product (SN 29529) (0.12 g, 6%) as a tan solid, mp >300 °C. ^{1}H NMR (400 MHz, DMSO-D6) δ ppm 9.05 (s, 2H), 8.90 (br, 1H), 8.57 (dd, J=7.0, 0.6 Hz, 1H), 7.80 (br s, 1H), 7.78 (s, 1H), 7.26 (dd, J=7.0, 1.8 Hz, 1H), 6.66 (br, 1H), 3.98 (s, 3H), 3.16 (dq, J=7.2, 5.5 Hz, 2H), 1.08 (t, J=7.2 Hz, 3H).

Example 5

The following illustrates representative pharmaceutical dosage forms, containing a compound of Formula I ("Invention Compound"), for therapeutic or prophylactic use in humans.

(i) Tablet	mg/tablet
'Invention Compound'	25.0
Lactose	50.0
Corn Starch (for mix)	10.0
Corn Starch (paste)	10.0
Magnesium Stearate (1%)	3.0
	300.0

The invention compound, lactose, and corn starch (for mix) are blended to uniformity. The corn starch (for paste) is suspended in 200 mL of water and heated with stirring to form a paste. The paste is used to granulate the mixed powders. The wet granules are passed through a No. 8 hand screen and dried at 80°C. The dry granules are lubricated with the 1% magnesium stearate and pressed into a tablet. Such tablets can be administered to a human from one to four times a day for treatment of pathogenic bacterial infections.

(ii) Tablet	mg/capsule
'Invention Compound	10.0
Colloidal Silicon Dioxide	1.5
Lactose	465.5
Pregelatinized Starch	120.0
Magnesium Stearate (1%)	3.0
	600.0

(iii) Preparation for

Oral Solution	Amount
'Invention Compound'	400 mg
Sorbitol Solution (70 % N.F.)	40 mL
Sodium Benzoate	20 mg
Saccharin	5 mg
Cherry Flavor	20 mg
Distilled Water q.s.	100 mL

The sorbitol solution is added to 40 mL of distilled water, and the invention compound is dissolved therein. The saccharin, sodium benzoate, flavor, and dye are added and dissolved. The volume is adjusted to 100 mL with distilled water. Each milliliter of syrup contains 4 mg of invention compound.

(iv) Parenteral Solution

In a solution of 700 mL of propylene glycol and 200 mL of water for injection is suspended 20 g of an invention compound. After suspension is complete, the pH is adjusted to 6.5 with 1 N hydrochloric acid, and the volume is made up to 1000 mL with water for injection. The Formulation is sterilized, filled into 5.0 mL ampoules each containing 2.0 mL, and sealed under nitrogen.

(v) Injection 1 (1 mg/mL)	Amount
'Invention Compound'	1.0
Dibasic Sodium Phosphate	12.0
Monobasic Sodium Phosphate	0.7
Sodium Chloride	4.5
N Sodium hydroxide solution (pH adjustment to 7.0-7.5)	q.s.
Water for injection	q.s. ad 1 mL

(vi) Injection 2 (10 mg/mL)	Amount
'Invention Compound'	10.0
Dibasic Sodium Phosphate	1.1
Monobasic Sodium Phosphate	0.3
Polyethylene glyco 400	200.0
N hydrochloric acid solution (pH adjustment to 7.0-7.5)	q.s.
Water for injection	q.s. ad 1 mL

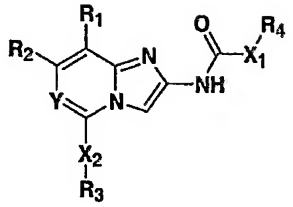
(vii)	Injection 2 (10 mg/mL)	Amount
	'Invention Compound'	20.0
	Oleic Acid	10.0
	Trichloromonofluoromethane	5,000.0
	Dichlorodifluoromethane	10,000.0
	Dichlorotetrafluoroethane	5,000.0.

All patents, and patent documents are incorporated by reference herein, as though individually incorporated by reference. The invention and the manner and process of making and using it, are now described in such full, clear, concise and exact terms as to enable any person skilled in the art to which it pertains, to make and use the same. It is to be understood that the foregoing describes preferred embodiments of the present invention and that modifications may be made therein without departing from the spirit or scope of the present invention as set forth in the claims. To particularly point out and distinctly claim the subject matter regarded as invention, the following claims conclude this specification.

Claims

What is claimed is:

1. A compound of formula I

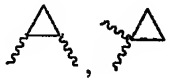


I

or a pharmaceutically acceptable salt thereof, wherein:

X_1 is CH_2, NH, or O;

X_2 is absent,

is CH_2, NH, O, or  , wherein "〰" are points of attachment, or

is a tether 2, 3 or 4 atoms in length, selected from

〰CH_2-O〰, 〰CH_2-CH_2-O〰, 〰CH_2-CH_2-N(R)〰,

〰O-CH_2-CH_2-O〰, 〰O-CH_2-CH_2-N(R)〰,

〰N(R)-CH_2-CH_2-N(R)〰 wherein R is H or (C_1-C_6)alkyl, and

wherein "〰" are points of attachment;

Y is N, C-H, C-F, or C-OMe;

R_1 is H or halo;

R_2 is (C_3-C_6)cycloalkyl,

$(CH_2)_x$-aryl,

$(CH_2)_x$-heterocyclo, or

$(CH_2)_x$-heteroaryl,

wherein x is 0, 1, or 2;

R_3 is H,

$(C_1\text{-}C_6)$alkyl,

$(C_3\text{-}C_6)$cycloalkyl,

aryl,

heterocyclo,

heteroaryl,

$C(O)NR_aR_b$,

$C(O)R_a$,

CO_2R_a,

$C(O)C(O)NR_aR_b$,

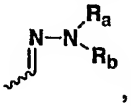
NO_2,

SO_2R_a,

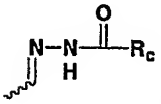
$SO_2NR_aR_b$,

$C(R_c)=NOR_a$,

$C(R_c)=NR_a$,



, wherein "〰" indicates the point of attachment,



, wherein "〰" indicates the point of attachment,

and wherein

R_a is H,

$(C_1\text{-}C_6)$alkyl,

$(C_3\text{-}C_6)$cycloalkyl,

$(CH_2)_y$-aryl,

$(CH_2)_y$-heterocyclo, or

$(CH_2)_y$-heteroaryl,

wherein y is 0, 1, or 2;

R_b is H,

$(C_1\text{-}C_6)$alkyl,

$(C_3\text{-}C_6)$cycloalkyl,

aryl,

heterocyclo, or

heteroaryl;

R_c is H,

$(C_1\text{-}C_6)$alkyl,

$(C_3\text{-}C_6)$cycloalkyl,

aryl,

heterocyclo, or

heteroaryl; and

R_4 is $(C_1\text{-}C_6)$alkyl, cyclopropyl, CH_2-cyclopropyl, or cyclobutyl.

2. The compound of claim 1, wherein

X_1 is CH_2, NH, or O;

X_2 is absent or is CH_2, NH, or O;

Y is N, CH, or CF;

R_1 is H or F;

R_2 is $(C_3\text{-}C_6)$cycloalkyl,

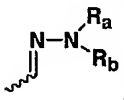
$(CH_2)_x$-aryl,

$(CH_2)_x$-heterocyclo, or

$(CH_2)_x$-heteroaryl,

wherein x is 0, 1, or 2;

R_3 is aryl,
heterocyclo,
heteroaryl,
$C(O)NR_aR_b$,
$C(O)R_a$,
CO_2R_a,
$C(O)C(O)NR_aR_b$,
SO_2R_a,
$SO_2NR_aR_b$,
$C(R_c)=NOR_a$,
$C(R_c)=NR_a$,



, wherein

R_a is H,
$(C_1\text{-}C_6)$alkyl,
$(C_3\text{-}C_6)$cycloalkyl,
$(CH_2)_y$-aryl,
$(CH_2)_y$-heterocyclo, or
$(CH_2)_y$-heteroaryl,
wherein y is 0, 1, or 2;

R_b is H,
$(C_1\text{-}C_6)$alkyl,
$(C_3\text{-}C_6)$cycloalkyl,
aryl,
heterocyclo, or
heteroaryl; and

R_c is H,
$(C_1$-$C_6)$alkyl,
$(C_3$-$C_6)$cycloalkyl,
aryl,
heterocyclo, or
heteroaryl; and

R_4 is $(C_1$-$C_6)$alkyl, cyclopropyl, or CH_2-cyclopropyl.

3. The compound of claim 1, wherein
X_1 is CH_2, NH, or O;

X_2 is absent or is CH_2, NH, or O;

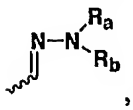
Y is N, CH, or CF;

R_1 is H or F;

R_2 is $(C_3$-$C_6)$cycloalkyl,
$(CH_2)_x$-aryl,
$(CH_2)_x$-heterocyclo, or
$(CH_2)_x$-heteroaryl,
wherein x is 0, 1, or 2;

R_3 is aryl,
heterocyclo,
heteroaryl,
$C(O)NR_aR_b$,
$C(O)R_a$,
CO_2R_a,
$C(R_c)=NOR_a$,
$C(R_c)=NOH$,

$C(R_c)=NR_a$,



, wherein

R_a is H,

$(C_1\text{-}C_6)$alkyl,

$(C_3\text{-}C_6)$cycloalkyl,

$(CH_2)_y$-aryl,

$(CH_2)_y$-heterocyclo, or

$(CH_2)_y$-heteroaryl,

wherein y is 0, 1, or 2;

R_b is H,

$(C_1\text{-}C_6)$alkyl,

$(C_3\text{-}C_6)$cycloalkyl,

aryl,

heterocyclo, or

heteroaryl;

R_c is H,

$(C_1\text{-}C_6)$alkyl,

$(C_3\text{-}C_6)$cycloalkyl,

aryl,

heterocyclo, or

heteroaryl; and

R_4 is $(C_1\text{-}C_6)$alkyl, cyclopropyl, or CH_2-cyclopropyl.

4. The compound of claim 1, wherein

X_1 is CH_2, NH, or O;

X_2 is absent or is CH_2, NH, or O;

Y is N, CH, or CF;

R_1 is H or F;

R_2 is $(C_3$-$C_6)$cycloalkyl,
$(CH_2)_x$-aryl,
$(CH_2)_x$-heterocyclo, or
$(CH_2)_x$-heteroaryl,
wherein x is 0, 1, or 2;

R_3 is aryl,
heterocyclo,
heteroaryl,
$C(O)NR_aR_b$,
$C(O)R_a$,
CO_2R_a, wherein

R_a is H,
$(C_1$-$C_6)$alkyl,
$(C_3$-$C_6)$cycloalkyl,
$(CH_2)_y$-aryl,
$(CH_2)_y$-heterocyclo, or
$(CH_2)_y$-heteroaryl,
wherein y is 0, 1, or 2;

R_b is H,
$(C_1$-$C_6)$alkyl,
$(C_3$-$C_6)$cycloalkyl,
aryl,
heterocyclo, or

heteroaryl; and

R_4 is $(C_1\text{-}C_6)$alkyl, cyclopropyl, or CH_2-cyclopropyl.

5. The compound of claim 1, wherein

X_1 is NH;

X_2 is absent or is CH_2, NH, or O;

Y is CH;

R_1 is H;

R_2 is $(C_3\text{-}C_6)$cycloalkyl,
$(CH_2)_x$-aryl,
$(CH_2)_x$-heterocyclo, or
$(CH_2)_x$-heteroaryl,
wherein x is 0, 1, or 2;

R_3 is aryl,
heterocyclo,
heteroaryl,
$C(O)NR_aR_b$,
$C(O)R_a$,
CO_2R_a, wherein

R_a is H,
$(C_1\text{-}C_6)$alkyl,
$(C_3\text{-}C_6)$cycloalkyl,
$(CH_2)_y$-aryl,
$(CH_2)_y$-heterocyclo, or
$(CH_2)_y$-heteroaryl,

wherein y is 0, 1, or 2;

R_b is H,

$(C_1\text{-}C_6)$alkyl,

$(C_3\text{-}C_6)$cycloalkyl,

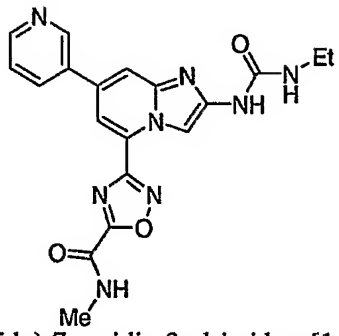
aryl,

heterocyclo, or

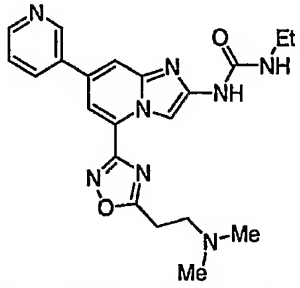
heteroaryl; and

R_4 is ethyl.

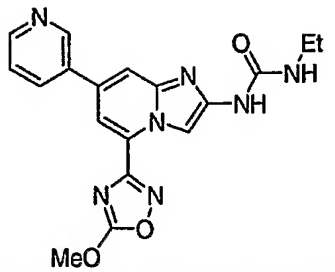
6. A compound which is:



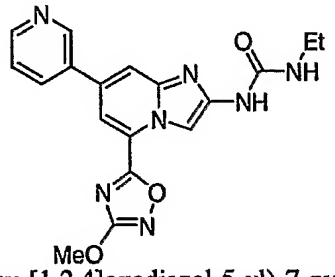
3-[2-(3-Ethyl-ureido)-7-pyridin-3-yl-imidazo[1,2-a]pyridin-5-yl]-[1,2,4]oxadiazole-5-carboxylic acid methylamide;



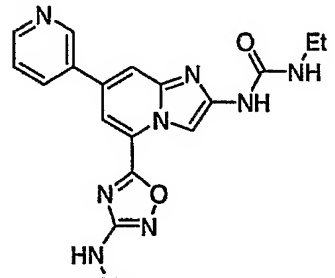
1-{5-[5-(2-Dimethylamino-ethyl)-[1,2,4]oxadiazol-3-yl]-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl}-3-ethyl-urea;



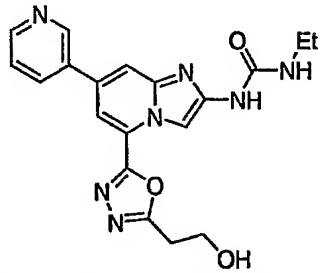
1-Ethyl-3-[5-(5-methoxy-[1,2,4]oxadiazol-3-yl)-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl]-urea;



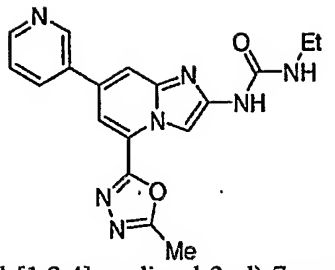
1-Ethyl-3-[5-(3-methoxy-[1,2,4]oxadiazol-5-yl)-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl]-urea;



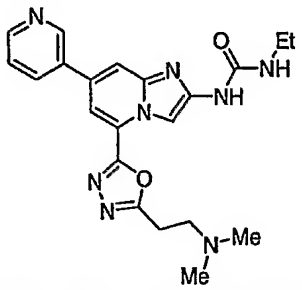
1-Ethyl-3-[5-(3-methylamino-[1,2,4]oxadiazol-5-yl)-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl]-urea;



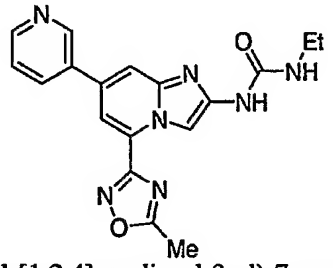
1-Ethyl-3-{5-[5-(2-hydroxy-ethyl)-[1,3,4]oxadiazol-2-yl]-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl}-urea;



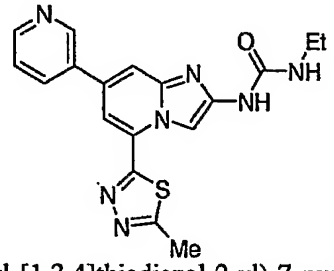
1-Ethyl-3-[5-(5-methyl-[1,3,4]oxadiazol-2-yl)-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl]-urea;



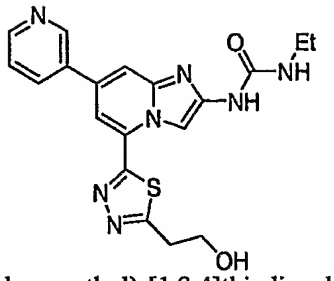
1-{5-[5-(2-Dimethylamino-ethyl)-[1,3,4]oxadiazol-2-yl]-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl}-3-ethyl-urea;



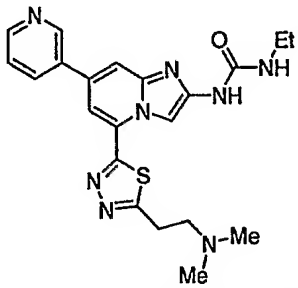
1-Ethyl-3-[5-(5-methyl-[1,2,4]oxadiazol-3-yl)-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl]-urea;



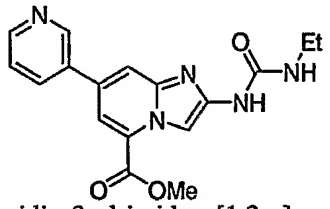
1-Ethyl-3-[5-(5-methyl-[1,3,4]thiadiazol-2-yl)-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl]-urea;



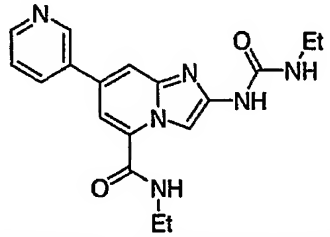
1-Ethyl-3-{5-[5-(2-hydroxy-ethyl)-[1,3,4]thiadiazol-2-yl]-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl}-urea;



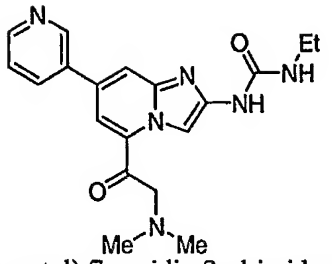
1-{5-[5-(2-Dimethylamino-ethyl)-[1,3,4]thiadiazol-2-yl]-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl}-3-ethyl-urea;



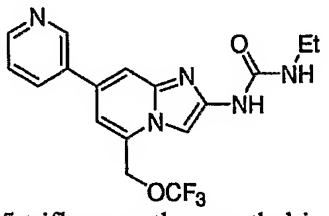
2-(3-Ethyl-ureido)-7-pyridin-3-yl-imidazo[1,2-a]pyridine-5-carboxylic acid methyl ester;



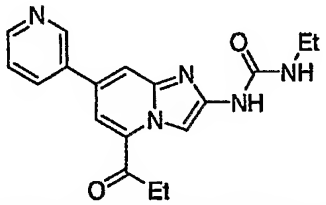
2-(3-Ethyl-ureido)-7-pyridin-3-yl-imidazo[1,2-a]pyridine-5-carboxylic acid ethylamide;



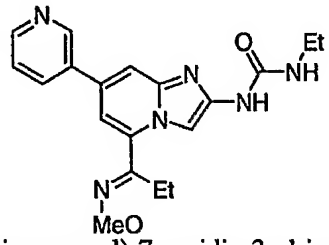
1-[5-(2-Dimethylamino-acetyl)-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl]-3-ethyl-urea;



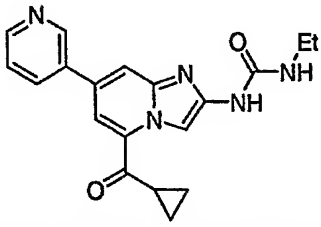
1-Ethyl-3-(7-pyridin-3-yl-5-trifluoromethoxymethyl-imidazo[1,2-a]pyridin-2-yl)-urea;



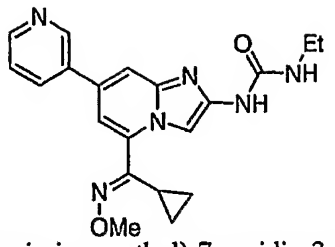
1-Ethyl-3-(5-propionyl-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl)-urea;



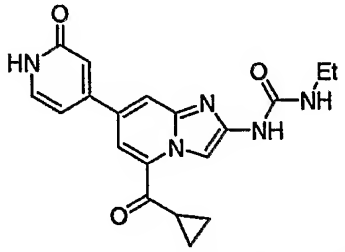
1-Ethyl-3-[5-(1-methylimino-propyl)-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl]-urea;



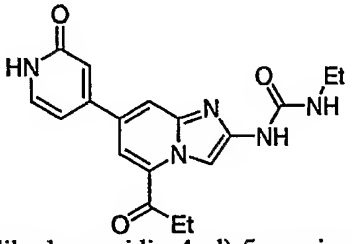
1-(5-Cyclopropanecarbonyl-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl)-3-ethyl-urea;



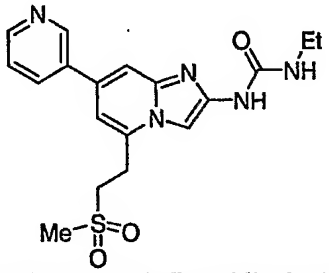
1-[5-(Cyclopropyl-methoxyimino-methyl)-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl]-3-methyl-urea;



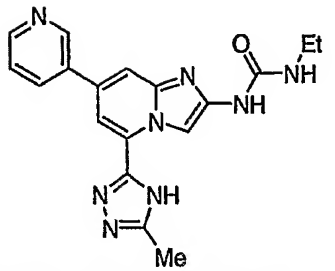
1-[5-Cyclopropanecarbonyl-7-(2-oxo-1,2-dihydro-pyridin-4-yl)-imidazo[1,2-a]pyridin-2-yl]-3-ethyl-urea;



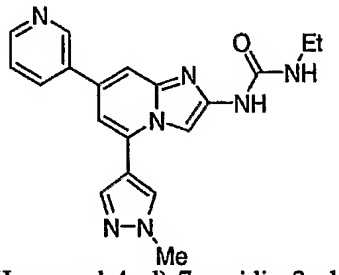
1-Ethyl-3-[7-(2-oxo-1,2-dihydro-pyridin-4-yl)-5-propionyl-imidazo[1,2-a]pyridin-2-yl]-urea;



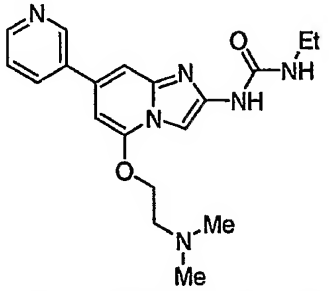
1-Ethyl-3-[5-(2-methanesulfonyl-ethyl)-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl]-urea;



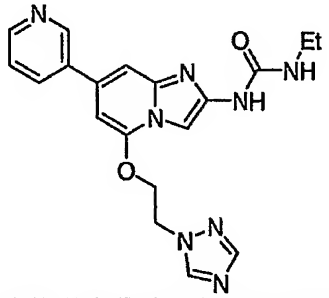
1-Ethyl-3-[5-(5-methyl-4H-[1,2,4]triazol-3-yl)-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl]-urea;



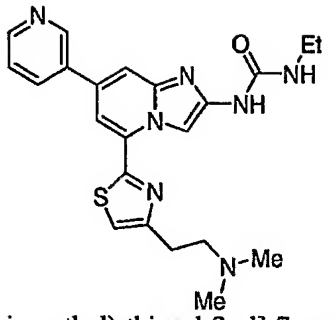
1-Ethyl-3-[5-(1-methyl-1H-pyrazol-4-yl)-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl]-urea;



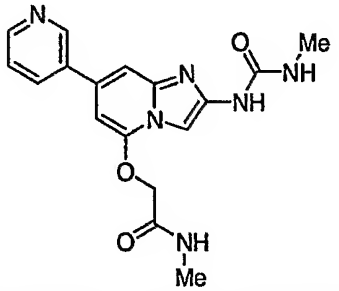
1-[5-(2-Dimethylamino-ethoxy)-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl]-3-ethyl-urea;



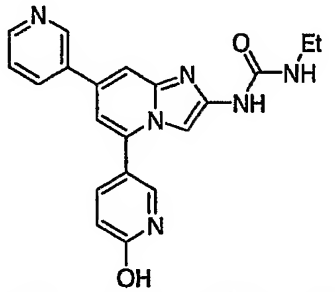
1-Ethyl-3-[7-pyridin-3-yl-5-(2-[1,2,4]triazol-1-yl-ethoxy)-imidazo[1,2-a]pyridin-2-yl]-urea;



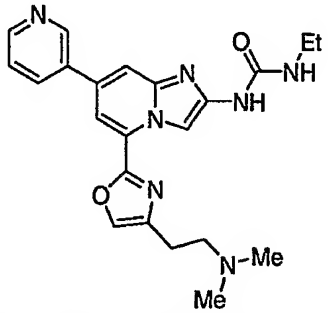
1-{5-[4-(2-Dimethylamino-ethyl)-thiazol-2-yl]-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl}-3-ethyl-urea;



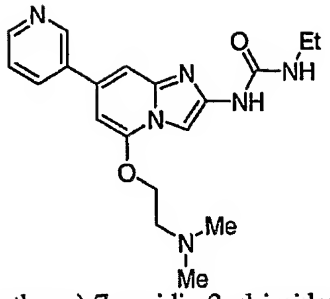
N-Methyl-2-[2-(3-methyl-ureido)-7-pyridin-3-yl-imidazo[1,2-a]pyridin-5-yloxy]-acetamide;



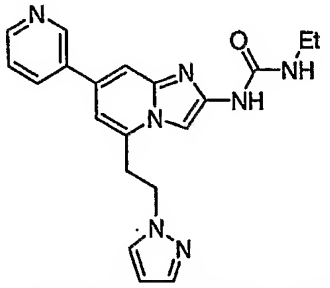
1-Ethyl-3-[5-(6-hydroxy-pyridin-3-yl)-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl]-urea;



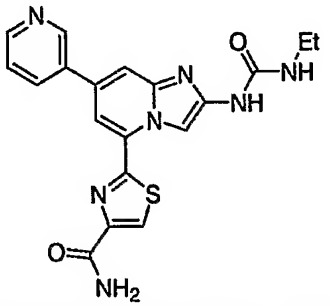
1-{5-[4-(2-Dimethylamino-ethyl)-oxazol-2-yl]-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl}-3-ethyl-urea;



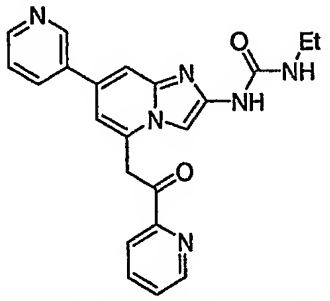
1-[5-(2-Dimethylamino-ethoxy)-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl]-3-ethyl-urea;



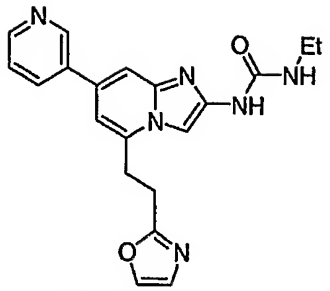
1-Ethyl-3-[5-(2-pyrazol-1-yl-ethyl)-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl]-urea;



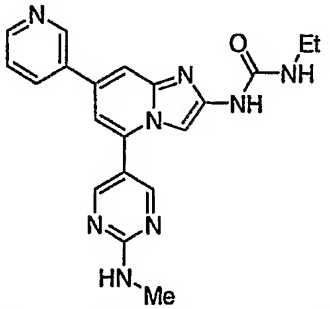
2-[2-(3-Ethyl-ureido)-7-pyridin-3-yl-imidazo[1,2-a]pyridin-5-yl]-thiazole-4-carboxylic acid amide;



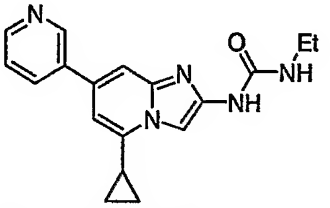
1-Ethyl-3-[5-(2-oxo-2-pyridin-2-yl-ethyl)-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl]-urea;



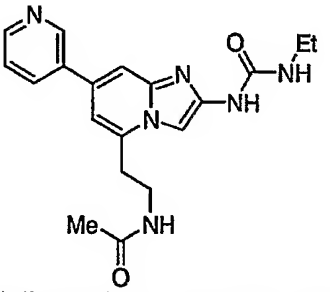
1-Ethyl-3-[5-(2-oxazol-2-yl-ethyl)-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl]-urea;



1-Ethyl-3-[5-(2-methylamino-pyrimidin-5-yl)-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl]-urea;

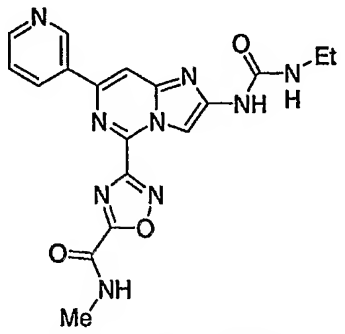


1-(5-Cyclopropyl-7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl)-3-ethyl-urea; and

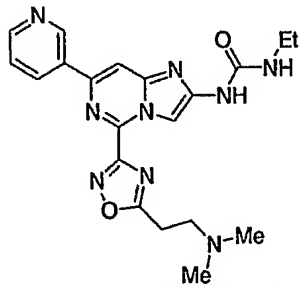


N-{2-[2-(3-Ethyl-ureido)-7-pyridin-3-yl-imidazo[1,2-a]pyridin-5-yl]-ethyl}-acetamide.

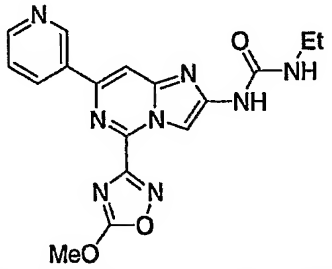
7. A compound which is:



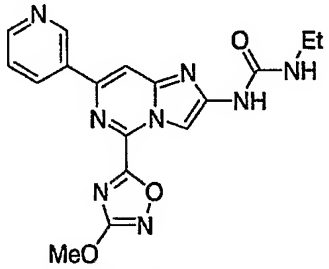
3-[2-(3-Ethyl-ureido)-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-5-yl]-[1,2,4]oxadiazole-5-carboxylic acid methylamide



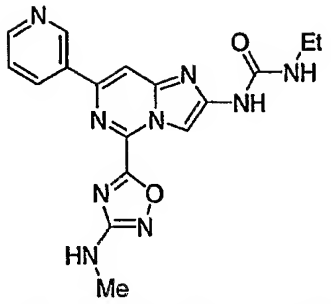
1-{5-[5-(2-Dimethylamino-ethyl)-[1,2,4]oxadiazol-3-yl]-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl}-3-ethyl-urea;



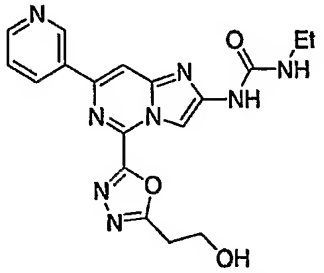
1-Ethyl-3-[5-(5-methoxy-[1,2,4]oxadiazol-3-yl)-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl]-urea;



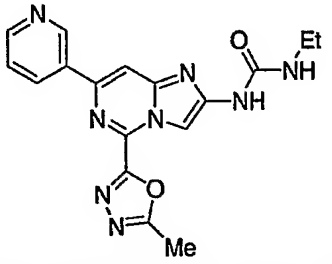
1-Ethyl-3-[5-(3-methoxy-[1,2,4]oxadiazol-5-yl)-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl]-urea;



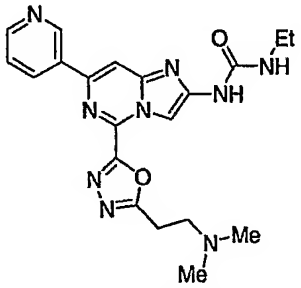
1-Ethyl-3-[5-(3-methylamino-[1,2,4]oxadiazol-5-yl)-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl]-urea;



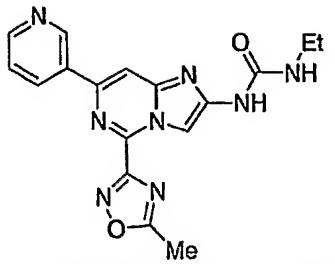
1-Ethyl-3-{5-[5-(2-hydroxy-ethyl)-[1,3,4]oxadiazol-2-yl]-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl}-urea;



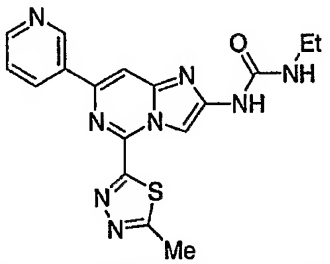
1-Ethyl-3-[5-(5-methyl-[1,3,4]oxadiazol-2-yl)-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl]-urea;



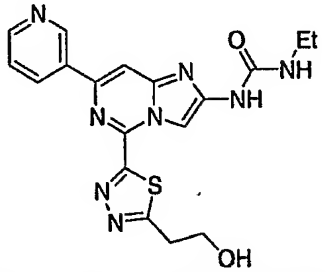
1-{5-[5-(2-Dimethylamino-ethyl)-[1,3,4]oxadiazol-2-yl]-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl}-3-ethyl-urea;



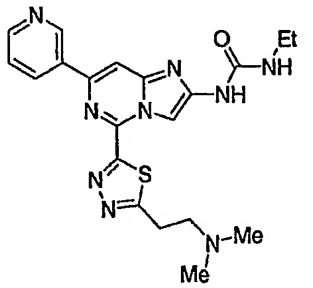
1-Ethyl-3-[5-(5-methyl-[1,2,4]oxadiazol-3-yl)-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl]-urea;



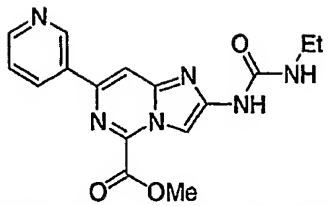
1-Ethyl-3-[5-(5-methyl-[1,3,4]thiadiazol-2-yl)-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl]-urea;



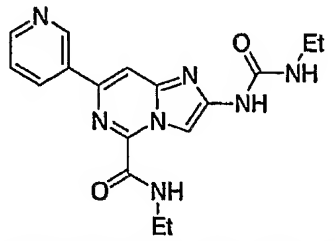
1-Ethyl-3-{5-[5-(2-hydroxy-ethyl)-[1,3,4]thiadiazol-2-yl]-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl}-urea;



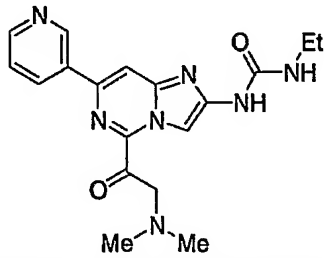
1-{5-[5-(2-Dimethylamino-ethyl)-[1,3,4]thiadiazol-2-yl]-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl}-3-ethyl-urea;



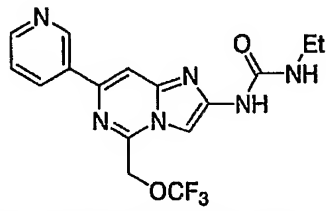
2-(3-Ethyl-ureido)-7-pyridin-3-yl-imidazo[1,2-c]pyrimidine-5-carboxylic acid methyl ester;



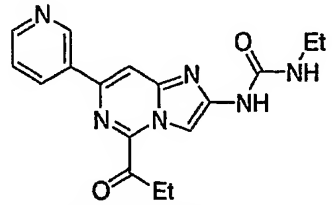
2-(3-Ethyl-ureido)-7-pyridin-3-yl-imidazo[1,2-c]pyrimidine-5-carboxylic acid ethylamide;



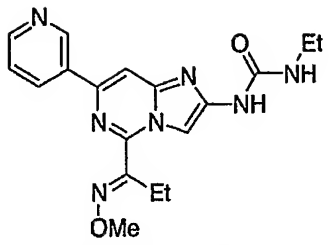
1-[5-(2-Dimethylamino-acetyl)-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl]-3-ethyl-urea;



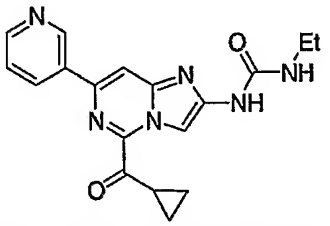
1-Ethyl-3-(7-pyridin-3-yl-5-trifluoromethoxymethyl-imidazo[1,2-c]pyrimidin-2-yl)-urea;



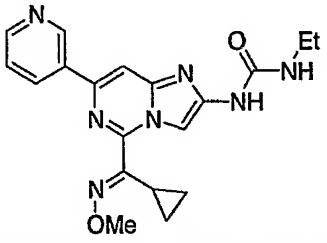
1-Ethyl-3-(5-propionyl-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl)-urea;



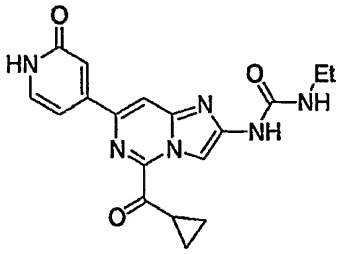
1-Ethyl-3-[5-(1-methoxyimino-propyl)-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl]-urea;



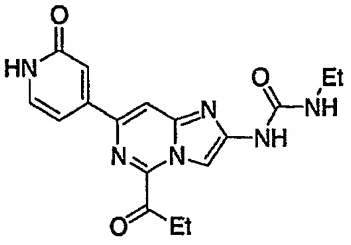
1-(5-Cyclopropanecarbonyl-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl)-3-ethyl-urea;



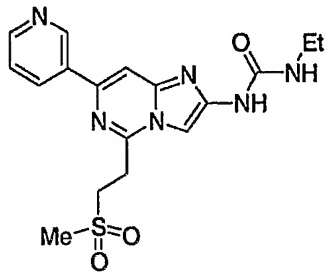
1-[5-(Cyclopropyl-methoxyimino-methyl)-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl]-3-ethyl-urea;



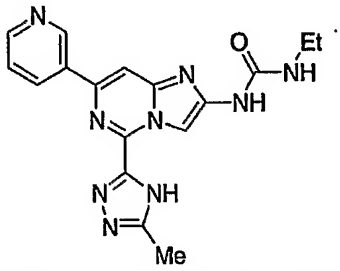
1-[5-Cyclopropanecarbonyl-7-(2-oxo-1,2-dihydro-pyridin-4-yl)-imidazo[1,2-c]pyrimidin-2-yl]-3-ethyl-urea;



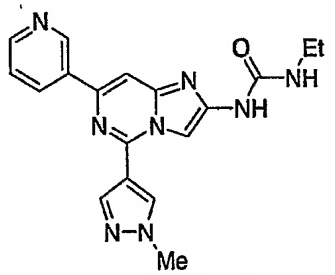
1-Ethyl-3-[7-(2-oxo-1,2-dihydro-pyridin-4-yl)-5-propionyl-imidazo[1,2-c]pyrimidin-2-yl]-urea;



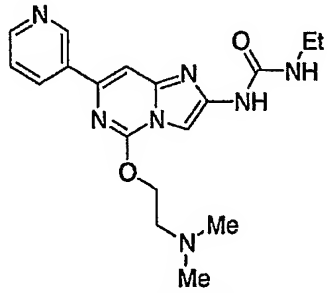
1-Ethyl-3-[5-(2-methanesulfonyl-ethyl)-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl]-urea;



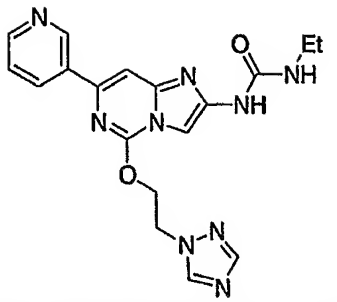
1-Ethyl-3-[5-(5-methyl-4H-[1,2,4]triazol-3-yl)-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl]-urea;



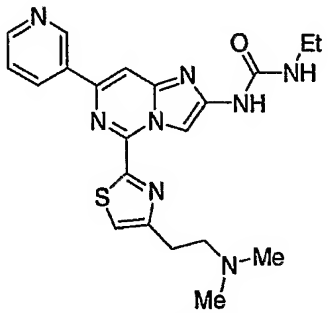
1-Ethyl-3-[5-(1-methyl-1H-pyrazol-4-yl)-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl]-urea;



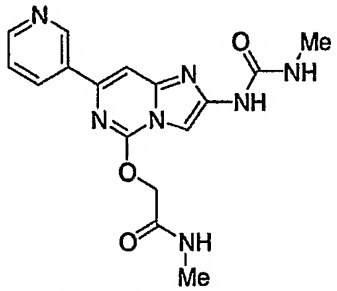
1-[5-(2-Dimethylamino-ethoxy)-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl]-3-ethyl-urea;



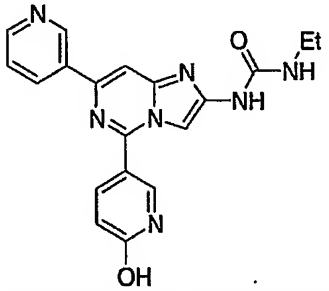
1-Ethyl-3-[7-pyridin-3-yl-5-(2-[1,2,4]triazol-1-yl-ethoxy)-imidazo[1,2-c]pyrimidin-2-yl]-urea;



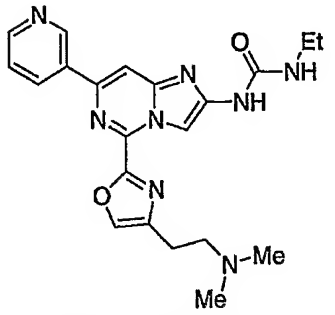
1-{5-[4-(2-Dimethylamino-ethyl)-thiazol-2-yl]-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl}-3-ethyl-urea;



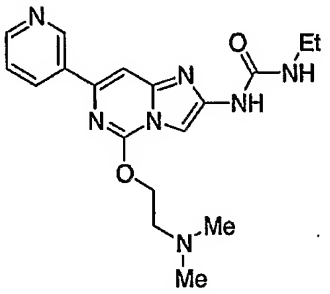
N-Methyl-2-[2-(3-methyl-ureido)-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-5-yloxy]-acetamide;



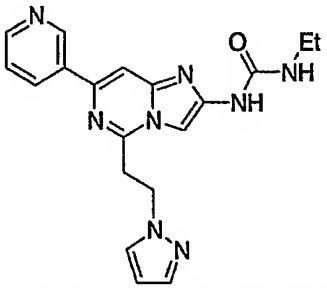
1-Ethyl-3-[5-(6-hydroxy-pyridin-3-yl)-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl]-urea;



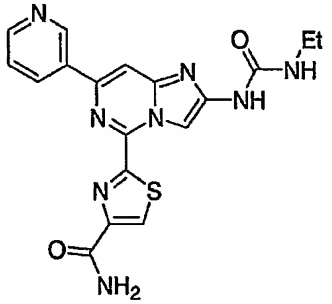
1-{5-[4-(2-Dimethylamino-ethyl)-oxazol-2-yl]-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl}-3-ethyl-urea;



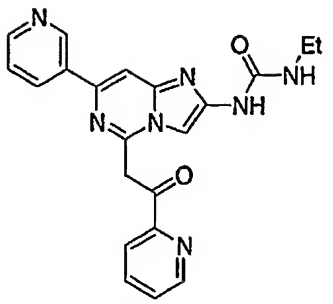
1-[5-(2-Dimethylamino-ethoxy)-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl]-3-ethyl-urea;



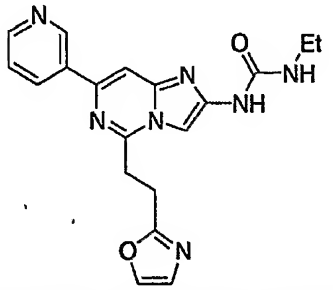
1-Ethyl-3-[5-(2-pyrazol-1-yl-ethyl)-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl]-urea;



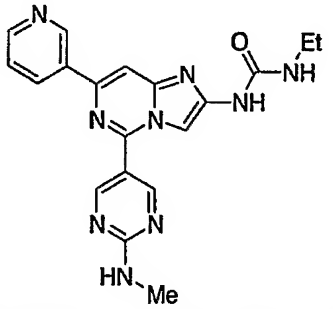
2-[2-(3-Ethyl-ureido)-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-5-yl]-thiazole-4-carboxylic acid amide;



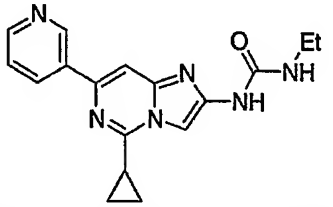
1-Ethyl-3-[5-(2-oxo-2-pyridin-2-yl-ethyl)-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl]-urea;



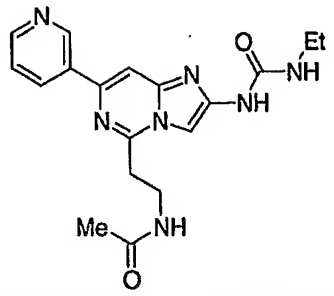
1-Ethyl-3-[5-(2-oxazol-2-yl-ethyl)-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl]-urea;



1-Ethyl-3-[5-(2-methylamino-pyrimidin-5-yl)-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl]-urea;

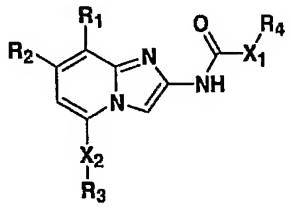


1-(5-Cyclopropyl-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl)-3-ethyl-urea; and



N-{2-[2-(3-Ethyl-ureido)-7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-5-yl]-ethyl}-acetamide.

8. A compound of formula II

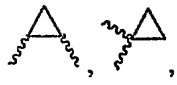


II

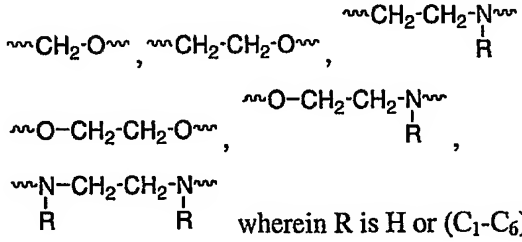
or a pharmaceutically acceptable salt thereof, wherein:

X_1 is CH_2, NH, or O;

X_2 is absent,

is CH_2, NH, O, or  , wherein "〰" are points of attachment, or

is a tether 2, 3 or 4 atoms in length, selected from



wherein R is H or $(C_1$-$C_6)$alkyl, and wherein "〰" are points of attachment;

R_1 is H or halo;

R_2 is $(C_3$-$C_6)$cycloalkyl,

$(CH_2)_x$-aryl,

$(CH_2)_x$-heterocyclo, or

$(CH_2)_x$-heteroaryl,

wherein x is 0, 1, or 2;

R_3 is H,

$(C_1$-$C_6)$alkyl,

$(C_3$-$C_6)$cycloalkyl,

aryl,

heterocyclo,

heteroaryl,

$C(O)NR_aR_b$,

$C(O)R_a$,

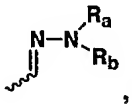
CO_2R_a,

$C(O)C(O)NR_aR_b$,

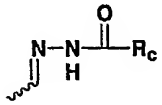
SO_2R_a,

$C(R_c)=NOR_a$,

$C(R_c)=NR_a$,



, wherein "~~~" indicates the point of attachment,



, wherein "~~~" indicates the point of attachment,

and wherein

R_a is H,

$(C_1$-$C_6)$alkyl,

$(C_3$-$C_6)$cycloalkyl,

$(CH_2)_y$-aryl,

$(CH_2)_y$-heterocyclo, or

$(CH_2)_y$-heteroaryl,

wherein y is 0, 1, or 2;

R_b is H,

$(C_1\text{-}C_6)$alkyl,

$(C_3\text{-}C_6)$cycloalkyl,

aryl,

heterocyclo, or

heteroaryl; and

R_c is H,

$(C_1\text{-}C_6)$alkyl,

$(C_3\text{-}C_6)$cycloalkyl,

aryl,

heterocyclo, or

heteroaryl; and

R_4 is $(C_1\text{-}C_6)$alkyl, cyclopropyl, CH_2-cyclopropyl, or cyclobutyl.

9. The compound of claim 8, wherein

X_1 is CH_2, NH, or O;

X_2 is absent or is CH_2, NH, or O;

R_1 is H or F;

R_2 is $(C_3\text{-}C_6)$cycloalkyl,

$(CH_2)_x$-aryl,

$(CH_2)_x$-heterocyclo, or

$(CH_2)_x$-heteroaryl,

wherein x is 0, 1, or 2;

R_3 is aryl,

heterocyclo,

heteroaryl,

$C(O)NR_aR_b$,

$C(O)R_a$,

CO_2R_a,

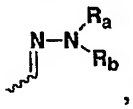
$C(O)C(O)NR_aR_b$,

SO_2R_a,

$SO_2NR_aR_b$,

$C(R_c)=NOR_a$,

$C(R_c)=NR_a$,



, wherein

R_a is H,

$(C_1\text{-}C_6)$alkyl,

$(C_3\text{-}C_6)$cycloalkyl,

$(CH_2)_y$-aryl,

$(CH_2)_y$-heterocyclo, or

$(CH_2)_y$-heteroaryl,

wherein y is 0, 1, or 2;

R_b is H,

$(C_1\text{-}C_6)$alkyl,

$(C_3\text{-}C_6)$cycloalkyl,

aryl,

heterocyclo, or

heteroaryl; and

R_c is H,

$(C_1\text{-}C_6)$alkyl,

$(C_3$-$C_6)$cycloalkyl,
aryl,
heterocyclo, or
heteroaryl; and

R_4 is $(C_1$-$C_6)$alkyl, cyclopropyl, or CH_2-cyclopropyl.

10. The compound of claim 8, wherein

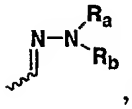
X_1 is CH_2, NH, or O;

X_2 is absent or is CH_2, NH, or O;

R_1 is H or F;

R_2 is $(C_3$-$C_6)$cycloalkyl,
$(CH_2)_x$-aryl,
$(CH_2)_x$-heterocyclo, or
$(CH_2)_x$-heteroaryl,
wherein x is 0, 1, or 2;

R_3 is aryl,
heterocyclo,
heteroaryl,
$C(O)NR_aR_b$,
$C(O)R_a$,
CO_2R_a,
$C(R_c)=NOR_a$,
$C(R_c)=NR_a$,



, wherein

R_a is H,
(C_1-C_6)alkyl,
(C_3-C_6)cycloalkyl,
$(CH_2)_y$-aryl,
$(CH_2)_y$-heterocyclo, or
$(CH_2)_y$-heteroaryl,
wherein y is 0, 1, or 2;

R_b is H,
(C_1-C_6)alkyl,
(C_3-C_6)cycloalkyl,
aryl,
heterocyclo, or
heteroaryl;

R_c is H,
(C_1-C_6)alkyl,
(C_3-C_6)cycloalkyl,
aryl,
heterocyclo, or
heteroaryl; and

R_4 is (C_1-C_6)alkyl, cyclopropyl, or CH_2-cyclopropyl.

11. The compound of claim 8, wherein
X_1 is CH_2, NH, or O;

X_2 is absent or is CH_2, NH, or O;

R_1 is H or F;

R_2 is (C_3-C_6)cycloalkyl,

$(CH_2)_x$-aryl,

$(CH_2)_x$-heterocyclo, or

$(CH_2)_x$-heteroaryl,

wherein x is 0, 1, or 2;

R_3 is aryl,

heterocyclo,

heteroaryl,

$C(O)NR_aR_b$,

$C(O)R_a$,

CO_2R_a, wherein

R_a is H,

$(C_1$-$C_6)$alkyl,

$(C_3$-$C_6)$cycloalkyl,

$(CH_2)_y$-aryl,

$(CH_2)_y$-heterocyclo, or

$(CH_2)_y$-heteroaryl,

wherein y is 0, 1, or 2;

R_b is H,

$(C_1$-$C_6)$alkyl,

$(C_3$-$C_6)$cycloalkyl,

aryl,

heterocyclo, or

heteroaryl; and

R_4 is $(C_1$-$C_6)$alkyl, cyclopropyl, or CH_2-cyclopropyl.

12. The compound of claim 8, wherein

X_1 is NH;

X_2 is absent or is CH_2, NH, or O;

R_1 is H;

R_2 is $(C_3$-$C_6)$cycloalkyl,
$(CH_2)_x$-aryl,
$(CH_2)_x$-heterocyclo, or
$(CH_2)_x$-heteroaryl,
wherein x is 0, 1, or 2;

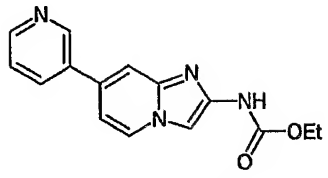
R_3 is aryl,
heterocyclo,
heteroaryl,
$C(O)NR_aR_b$,
$C(O)R_a$,
CO_2R_a, wherein

R_a is H,
$(C_1$-$C_6)$alkyl,
$(C_3$-$C_6)$cycloalkyl,
$(CH_2)_y$-aryl,
$(CH_2)_y$-heterocyclo, or
$(CH_2)_y$-heteroaryl,
wherein y is 0, 1, or 2;

R_b is H,
$(C_1$-$C_6)$alkyl,
$(C_3$-$C_6)$cycloalkyl,
aryl,
heterocyclo, or
heteroaryl; and

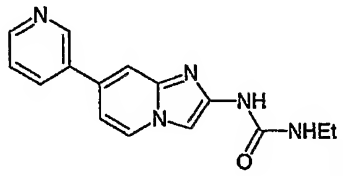
R_4 is ethyl.

13. A compound which is:



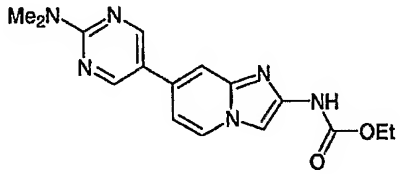
SN 29501

(7-Pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl)-carbamic acid ethyl ester;



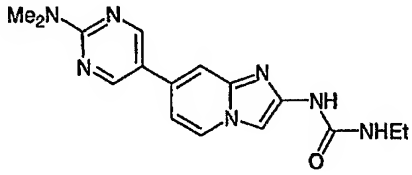
SN29504

1-Ethyl-3-(7-pyridin-3-yl-imidazo[1,2-a]pyridin-2-yl)-urea;



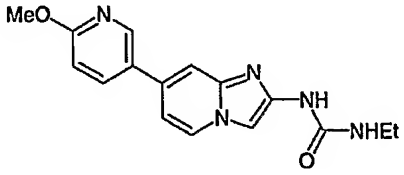
SN 29523

[7-(2-Dimethylamino-pyrimidin-5-yl)-imidazo[1,2-a]pyridin-2-yl]-carbamic acid ethyl ester;



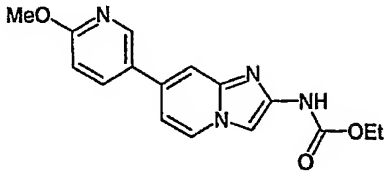
SN 29518

1-[7-(2-Dimethylamino-pyrimidin-5-yl)-imidazo[1,2-a]pyridin-2-yl]-3-ethyl-urea;



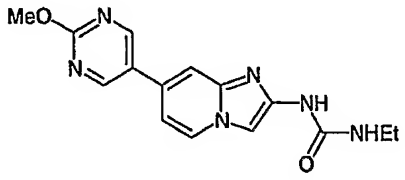
SN 29526

1-Ethyl-3-[7-(6-methoxy-pyridin-3-yl)-imidazo[1,2-a]pyridin-2-yl]-urea;



SN 29527

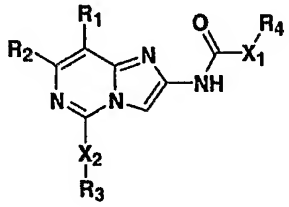
[7-(6-Methoxy-pyridin-3-yl)-imidazo[1,2-a]pyridin-2-yl]-carbamic acid ethyl ester; or



SN 29529

1-Ethyl-3-[7-(2-methoxy-pyrimidin-5-yl)-imidazo[1,2-a]pyridin-2-yl]-urea.

14. A compound of formula III



III

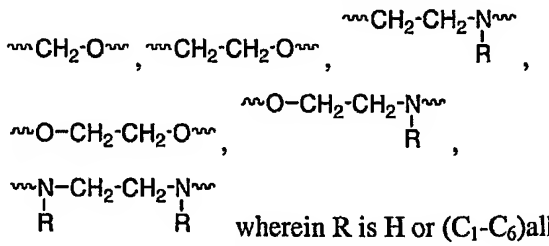
or a pharmaceutically acceptable salt thereof, wherein:

X_1 is CH_2, NH, or O;

X_2 is absent,

is CH_2, NH, O, or  , wherein "〰" are points of attachment, or

is a tether 2, 3 or 4 atoms in length, selected from



wherein R is H or (C_1-C_6)alkyl, and wherein "〰" are points of attachment;

R_1 is H or halo;

R_2 is $(C_3\text{-}C_6)$cycloalkyl,

$(CH_2)_x$-aryl,

$(CH_2)_x$-heterocyclo, or

$(CH_2)_x$-heteroaryl,

wherein x is 0, 1, or 2;

R_3 is H,

$(C_1\text{-}C_6)$alkyl,

$(C_3\text{-}C_6)$cycloalkyl,

aryl,

heterocyclo,

heteroaryl,

$C(O)NR_aR_b$,

$C(O)R_a$,

CO_2R_a,

$C(O)C(O)NR_aR_b$,

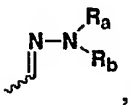
NO_2,

SO_2R_a,

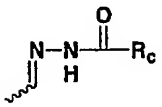
$SO_2NR_aR_b$,

$C(R_c)=NOR_a$,

$C(R_c)=NR_a$,



, wherein "〰" indicates the point of attachment,



, wherein "〰" indicates the point of attachment,

and wherein

R_a is H,

$(C_1\text{-}C_6)$alkyl,

$(C_3\text{-}C_6)$cycloalkyl,

$(CH_2)_y$-aryl,
$(CH_2)_y$-heterocyclo, or
$(CH_2)_y$-heteroaryl,
wherein y is 0, 1, or 2;

R_b is H,
$(C_1\text{-}C_6)$alkyl,
$(C_3\text{-}C_6)$cycloalkyl,
aryl,
heterocyclo, or
heteroaryl;

R_c is H,
$(C_1\text{-}C_6)$alkyl,
$(C_3\text{-}C_6)$cycloalkyl,
aryl,
heterocyclo, or
heteroaryl; and

R_4 is $(C_1\text{-}C_6)$alkyl, cyclopropyl, CH_2-cyclopropyl, or cyclobutyl.

15. The compound of claim 14, wherein
X_1 is CH_2, NH, or O;

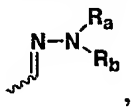
X_2 is absent or is CH_2, NH, or O;

R_1 is H or F;

R_2 is $(C_3\text{-}C_6)$cycloalkyl,
$(CH_2)_x$-aryl,
$(CH_2)_x$-heterocyclo, or
$(CH_2)_x$-heteroaryl,

wherein x is 0, 1, or 2;

R_3 is aryl,
heterocyclo,
heteroaryl,
$C(O)NR_aR_b$,
$C(O)R_a$,
CO_2R_a,
$C(O)C(O)NR_aR_b$,
SO_2R_a,
$SO_2NR_aR_b$,
$C(R_c)=NOR_a$,
$C(R_c)=NR_a$,



, wherein

R_a is H,
$(C_1\text{-}C_6)$alkyl,
$(C_3\text{-}C_6)$cycloalkyl,
$(CH_2)_y$-aryl,
$(CH_2)_y$-heterocyclo, or
$(CH_2)_y$-heteroaryl,
wherein y is 0, 1, or 2;

R_b is H,
$(C_1\text{-}C_6)$alkyl,
$(C_3\text{-}C_6)$cycloalkyl,
aryl,
heterocyclo, or
heteroaryl; and

R_4 is $(C_1$-$C_6)$alkyl, cyclopropyl, or CH_2-cyclopropyl.

16. The compound of claim 14, wherein

X_1 is CH_2, NH, or O;

X_2 is absent or is CH_2, NH, or O;

R_1 is H or F;

R_2 is $(C_3$-$C_6)$cycloalkyl,
$(CH_2)_x$-aryl,
$(CH_2)_x$-heterocyclo, or
$(CH_2)_x$-heteroaryl,
wherein x is 0, 1, or 2;

R_3 is aryl,
heterocyclo,
heteroaryl,
$C(O)NR_aR_b$,
$C(O)R_a$,
CO_2R_a,
$C(R_c)=NOR_a$,
$C(R_c)=NR_a$,
$C(R_c)=N\text{-}NR_aR_b$, wherein

R_a is H,
$(C_1$-$C_6)$alkyl,
$(C_3$-$C_6)$cycloalkyl,
$(CH_2)_y$-aryl,
$(CH_2)_y$-heterocyclo, or
$(CH_2)_y$-heteroaryl,
wherein y is 0, 1, or 2;

R_b is H,
$(C_1\text{-}C_6)$alkyl,
$(C_3\text{-}C_6)$cycloalkyl,
aryl,
heterocyclo, or
heteroaryl;

R_c is H,
$(C_1\text{-}C_6)$alkyl,
$(C_3\text{-}C_6)$cycloalkyl,
aryl,
heterocyclo, or
heteroaryl; and

R_4 is $(C_1\text{-}C_6)$alkyl, cyclopropyl, or CH_2-cyclopropyl.

17. The compound of claim 14, wherein
X_1 is CH_2, NH, or O;

X_2 is absent or is CH_2, NH, or O;

R_1 is H or F;

R_2 is $(C_3\text{-}C_6)$cycloalkyl,
$(CH_2)_x$-aryl,
$(CH_2)_x$-heterocyclo, or
$(CH_2)_x$-heteroaryl,
wherein x is 0, 1, or 2;

R_3 is aryl,
heterocyclo,

heteroaryl,

$C(O)NR_aR_b$,

$C(O)R_a$,

CO_2R_a, wherein

R_a is H,

$(C_1\text{-}C_6)$alkyl,

$(C_3\text{-}C_6)$cycloalkyl,

$(CH_2)_y$-aryl,

$(CH_2)_y$-heterocyclo, or

$(CH_2)_y$-heteroaryl,

wherein y is 0, 1, or 2;

R_b is H,

$(C_1\text{-}C_6)$alkyl,

$(C_3\text{-}C_6)$cycloalkyl,

aryl,

heterocyclo, or

heteroaryl; and

R_4 is $(C_1\text{-}C_6)$alkyl, cyclopropyl, or CH_2-cyclopropyl.

18. The compound of claim 14, wherein

X_1 is NH;

X_2 is absent or is CH_2, NH, or O;

R_1 is H;

R_2 is $(C_3\text{-}C_6)$cycloalkyl,

$(CH_2)_x$-aryl,

$(CH_2)_x$-heterocyclo, or

$(CH_2)_x$-heteroaryl,

wherein x is 0, 1, or 2;

R_3 is aryl,

heterocyclo,

heteroaryl,

$C(O)NR_aR_b$,

$C(O)R_a$,

CO_2R_a, wherein

R_a is H,

$(C_1\text{-}C_6)$alkyl,

$(C_3\text{-}C_6)$cycloalkyl,

$(CH_2)_y$-aryl,

$(CH_2)_y$-heterocyclo, or

$(CH_2)_y$-heteroaryl,

wherein y is 0, 1, or 2;

R_b is H,

$(C_1\text{-}C_6)$alkyl,

$(C_3\text{-}C_6)$cycloalkyl,

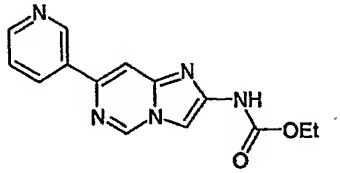
aryl,

heterocyclo, or

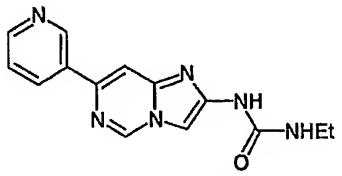
heteroaryl; and

R_4 is ethyl.

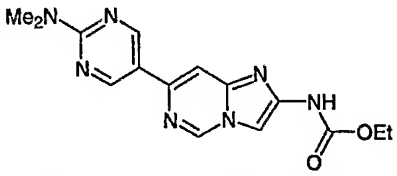
19. A compound which is:



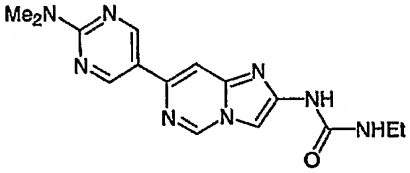
(7-Pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl)-carbamic acid ethyl ester;



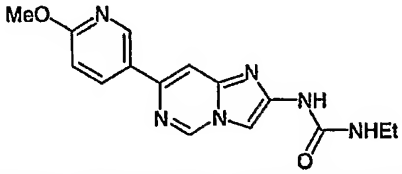
1-Ethyl-3-(7-pyridin-3-yl-imidazo[1,2-c]pyrimidin-2-yl)-urea;



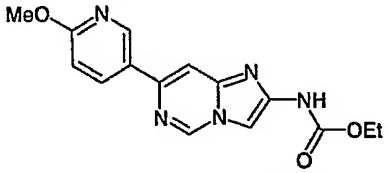
[7-(2-Dimethylamino-pyrimidin-5-yl)- imidazo[1,2-c]pyrimidin-2-yl]-carbamic acid ethyl ester;



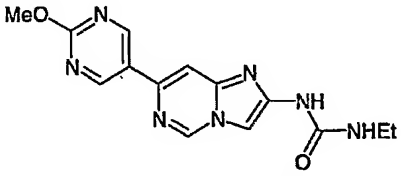
1-[7-(2-Dimethylamino-pyrimidin-5-yl)- imidazo[1,2-c]pyrimidin-2-yl]-3-ethyl-urea;



1-Ethyl-3-[7-(6-methoxy-pyridin-3-yl)- imidazo[1,2-c]pyrimidin-2-yl]-urea;



[7-(6-Methoxy-pyridin-3-yl)- imidazo[1,2-c]pyrimidin-2-yl]-carbamic acid ethyl ester; or



1-Ethyl-3-[7-(2-methoxy-pyrimidin-5-yl)- imidazo[1,2-c]pyrimidin-2-yl]-urea.

20. A pharmaceutical formulation comprising a compound of claim 1 admixed with a pharmaceutically acceptable diluent, carrier, or excipient.

21. A method of treating a bacterial infection in a mammal, comprising administering to a mammal in need thereof an effective amount of a compound of claim 1.

22. A method of decreasing bacterial quantity in a biological sample, comprising contacting the sample with a compound of claim 1.

ABSTRACT

Compounds of formula I and methods for their preparation are disclosed. Further disclosed are methods of making biologically active compounds of formula I as well as pharmaceutically acceptable compositions comprising compounds of formula I. Compounds of formula I as disclosed herein can be used in a variety of applications including use as antibacterial agents.